Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS	4	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS	5	FEB 05	German (DE) application and patent publication number format changes
NEWS	6	MAR 03	MEDLINE and LMEDLINE reloaded
NEWS	7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	8	MAR 03	FRANCEPAT now available on STN
NEWS	9	MAR 29	Pharmaceutical Substances (PS) now available on STN
NEWS	10	MAR 29	WPIFV now available on STN
NEWS	11	MAR 29	No connect hour charges in WPIFV until May 1, 2004
NEWS	12	MAR 29	New monthly current-awareness alert (SDI) frequency in RAPRA

NEWS EXPRESS	MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 3 MARCH 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:33:09 ON 16 APR 2004

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?

Patel <4/16/2004>

Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:33:32 ON 16 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 APR 2004 HIGHEST RN 675571-70-7
DICTIONARY FILE UPDATES: 14 APR 2004 HIGHEST RN 675571-70-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

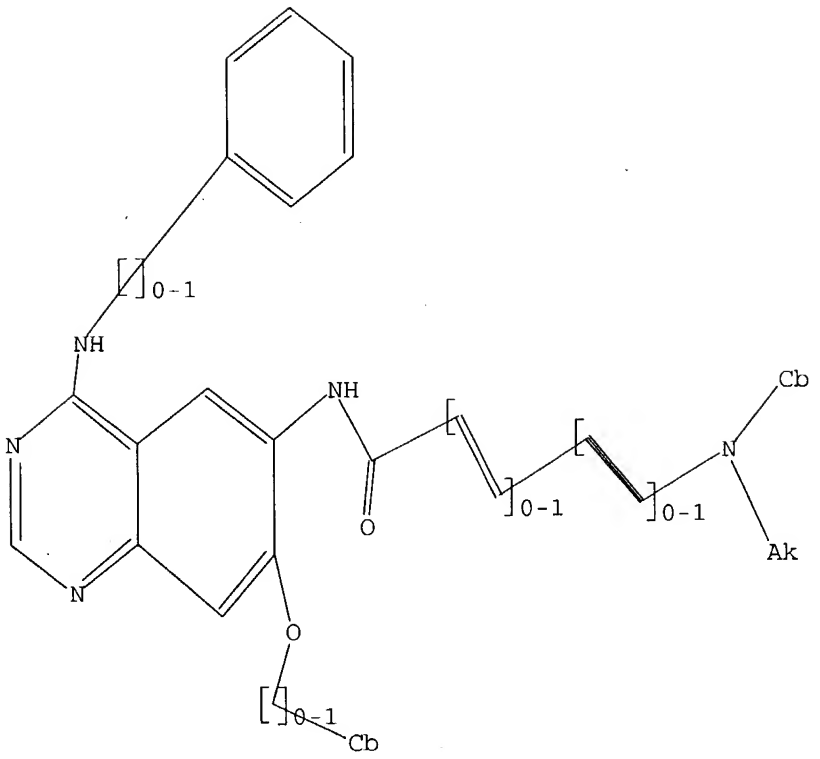
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading c:\program files\stnexp\queries\10016280.10

L1 STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> S L1 SSS FULL
FULL SEARCH INITIATED 10:33:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 393 TO ITERATE

100.0% PROCESSED 393 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=> FILE MARPAT

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'MARPAT' ENTERED AT 10:34:04 ON 16 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE CONTENT: 1988-PRESENT (VOL 140 ISS 15)(20040409ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6706759 16 MAR 2004
DE 10335606 11 MAR 2004

EP 1394228 03 MAR 2004
JP 2004075668 11 MAR 2004
WO 2004020602 11 MAR 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> S L1 SSS FULL
FULL SEARCH INITIATED 10:34:12 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 2151 TO ITERATE

100.0% PROCESSED 2151 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.16

L3 0 SEA SSS FUL L1

=> FILE CAOLD

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	109.42	265.05

FILE 'CAOLD' ENTERED AT 10:34:33 ON 16 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGistRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> S L1 SSS FULL
REGistRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 10:34:40 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 393 TO ITERATE

100.0% PROCESSED 393 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L4 0 SEA SSS FUL L1

L5 0 L4

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	421.31

STN INTERNATIONAL LOGOFF AT 10:34:45 ON 16 APR 2004

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS	4	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
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NEWS	6	MAR 03	MEDLINE and LMEDLINE reloaded
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NEWS	8	MAR 03	FRANCEPAT now available on STN
NEWS	9	MAR 29	Pharmaceutical Substances (PS) now available on STN
NEWS	10	MAR 29	WPIFV now available on STN
NEWS	11	MAR 29	No connect hour charges in WPIFV until May 1, 2004
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NEWS EXPRESS	MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 3 MARCH 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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NEWS LOGIN	Welcome Banner and News Items
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NEWS WWW	CAS World Wide Web Site (general information)

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:21:46 ON 16 APR 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

Patel <4/16/2004>

FILE 'REGISTRY' ENTERED AT 15:21:51 ON 16 APR 2004

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 APR 2004 HIGHEST RN 675818-37-8
DICTIONARY FILE UPDATES: 15 APR 2004 HIGHEST RN 675818-37-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

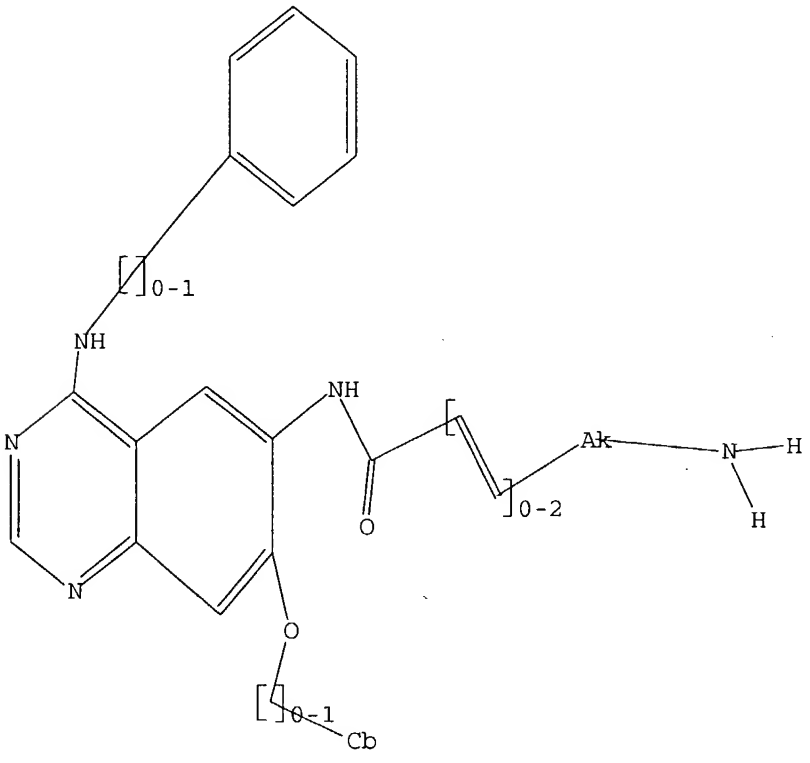
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading c:\program files\stnexp\queries\10016280.12

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 sss full
FULL SEARCH INITIATED 15:22:16 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    395 TO ITERATE

100.0% PROCESSED     395 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.01

L2             0 SEA SSS FUL L1

=> file marpat
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   155.42     155.63

FILE 'MARPAT' ENTERED AT 15:22:23 ON 16 APR 2004
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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 15)(20040409ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US     6706759 16 MAR 2004
DE    10335606 11 MAR 2004
EP     1394228 03 MAR 2004
JP 2004075668 11 MAR 2004
WO 2004020602 11 MAR 2004

Structure search limits have been raised.  See HELP SLIMIT for the new,
higher limits.

=> s l1 sss full
FULL SEARCH INITIATED 15:22:30 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED -   2160 TO ITERATE

100.0% PROCESSED    2160 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.14

L3             0 SEA SSS FUL L1

=> file caold
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   109.42     265.05

FILE 'CAOLD' ENTERED AT 15:23:02 ON 16 APR 2004
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FILE COVERS 1907-1966
FILE LAST UPDATED:  01 May 1997 (19970501/UP)
```

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s l1 sss full
REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 15:23:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 395 TO ITERATE

100.0% PROCESSED 395 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L4 0 SEA SSS FUL L1

L5 0 L4

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	421.31

STN INTERNATIONAL LOGOFF AT 15:23:13 ON 16 APR 2004

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:25:16 ON 16 APR 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

Patel <4/16/2004>

FILE 'REGISTRY' ENTERED AT 15:25:26 ON 16 APR 2004

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 APR 2004 HIGHEST RN 675818-37-8
DICTIONARY FILE UPDATES: 15 APR 2004 HIGHEST RN 675818-37-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
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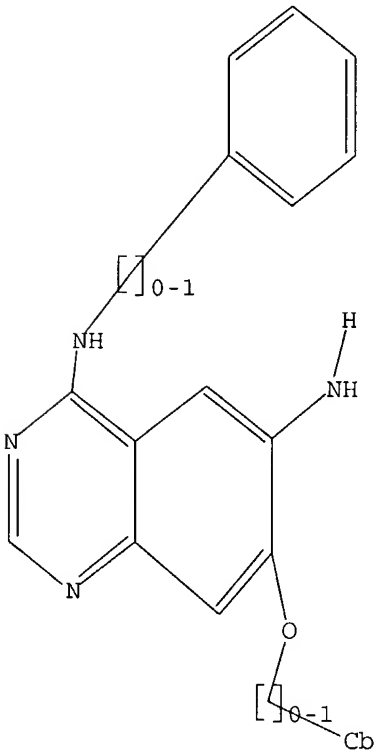
=>
Uploading c:\program files\stnexp\queries\10016280.13

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 sss full
FULL SEARCH INITIATED 15:25:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    666 TO ITERATE

100.0% PROCESSED     666 ITERATIONS                          12 ANSWERS
SEARCH TIME: 00.00.01

L2            12 SEA SSS FUL L1

=> file marpat
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 155.42     155.63

FILE 'MARPAT' ENTERED AT 15:25:56 ON 16 APR 2004
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(COVERAGE TO THESE DATES IS NOT COMPLETE):

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DE    10335606 11 MAR 2004
EP     1394228 03 MAR 2004
JP 2004075668 11 MAR 2004
WO 2004020602 11 MAR 2004

Structure search limits have been raised.  See HELP SLIMIT for the new,
higher limits.

=> s l1 sss full
FULL SEARCH INITIATED 15:26:09 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED -    2220 TO ITERATE

100.0% PROCESSED    2220 ITERATIONS  (     1 INCOMPLETE)      16 ANSWERS
SEARCH TIME: 00.00.14

L3            16 SEA SSS FUL L1

=> file caold
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 109.42     265.05

FILE 'CAOLD' ENTERED AT 15:26:29 ON 16 APR 2004
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FILE COVERS 1907-1966
FILE LAST UPDATED:  01 May 1997 (19970501/UP)
```

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s l1 sss full
REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 15:26:35 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 666 TO ITERATE

100.0% PROCESSED 666 ITERATIONS 12 ANSWERS
SEARCH TIME: 00.00.01

L4 12 SEA SSS FUL L1

L5 0 L4

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	421.31

FILE 'CAPLUS' ENTERED AT 15:26:42 ON 16 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 16 Apr 2004 VOL 140 ISS 17
FILE LAST UPDATED: 15 Apr 2004 (20040415/ED)

This file contains CAS Registry Numbers for easy and accurate

substance identification.

```
=> d his

     (FILE 'HOME' ENTERED AT 15:25:16 ON 16 APR 2004)

     FILE 'REGISTRY' ENTERED AT 15:25:26 ON 16 APR 2004
L1             STRUCTURE UPLOADED
L2          12 S L1 SSS FULL

     FILE 'MARPAT' ENTERED AT 15:25:56 ON 16 APR 2004
L3          16 S L1 SSS FULL

     FILE 'CAOLD' ENTERED AT 15:26:29 ON 16 APR 2004
                S L1

     FILE 'REGISTRY' ENTERED AT 15:26:35 ON 16 APR 2004
L4          12 S L1 SSS FULL

     FILE 'CAOLD' ENTERED AT 15:26:36 ON 16 APR 2004
L5           0 S L4 SSS FULL

     FILE 'CAPLUS' ENTERED AT 15:26:42 ON 16 APR 2004

=> s l2
L6          12 L2

=> s l3
L7          16 L3

=> d l6 fbib hitstr abs total

L6   ANSWER 1 OF 12  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2004:41317  CAPLUS
DN   140:99649
TI   Pharmaceutical compositions for the treatment of respiratory tract
     diseases comprising novel anticholinergic agents and inhibitors of
     EGFR-kinase
IN   Pairet, Michel; Meade, Christopher John Montague; Pieper, Michael P.
PA   Boehringer Ingelheim Pharma Gmbh & Co. Kg, Germany
SO   PCT Int. Appl., 44 pp.
     CODEN: PIXXD2
DT   Patent
LA   German
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
PI   WO 2004004775      A1   20040115       WO 2003-EP6788   20030626
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR,
             TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG,
             KZ, MD, RU, TJ
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
```

NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

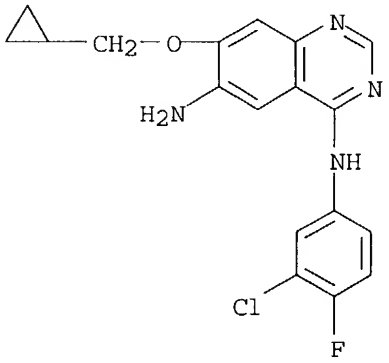
			DE 2002-10230751A 20020709
DE 10230751	A1	20040122	DE 2002-10230751 20020709
US 2004048887	A1	20040311	US 2003-614382 20030707
			DE 2002-10230751A 20020709
			US 2002-407746PP 20020903

OS MARPAT 140:99649

IT **290304-07-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(pharmaceutical compns. for treatment of respiratory tract diseases comprising anticholinergic agents and inhibitors of EGFR-kinase)

RN 290304-07-3 CAPLUS

CN 4,6-Quinazolinediamine, N4-(3-chloro-4-fluorophenyl)-7-(cyclopropylmethoxy)- (9CI) (CA INDEX NAME)



AB The invention relates to novel pharmaceutical compns. comprising novel anticholinergic agents and EGFR-kinase inhibitors, method for production and use thereof in the treatment of respiratory diseases. The synthesis of several EGFR-kinase inhibitors is given. Thus an inhalation capsule contained (microgram/capsule): 2,2-Diphenylpropionic acid scopine ester methobromide 60; EGFR kinase inhibitor 3500; lactose 3440.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:913005 CAPLUS

DN 139:391384

TI Use of inhibitors of EGFR-mediated signal transduction for the treatment of benign prostatic hyperplasia (BPH)/prostatic hypertrophy

IN Singer, Thomas; Colbatzky, Florian; Platz, Stefan

PA Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany

SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003094921	A2	20031120	WO 2003-EP4606	20030502
WO 2003094921	A3	20040318		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 2002-10221018A 20020511

DE 10221018 A1 20031127 DE 2002-10221018 20020511

US 2003225079 A1 20031204 US 2003-431699 20030508

DE 2002-10221018A 20020511

US 2002-389815PP 20020618

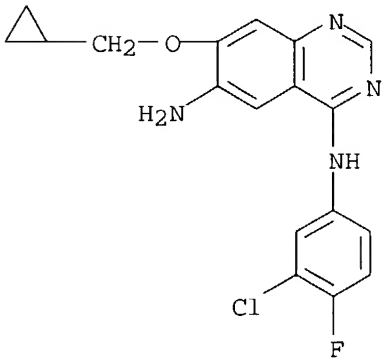
OS MARPAT 139:391384

IT **290304-07-3**

RL: RCT (Reactant); RACT (Reactant or reagent)
(EGFR-mediated signal transduction inhibitors for treatment of benign prostatic hyperplasia/prostatic hypertrophy)

RN 290304-07-3 CAPLUS

CN 4,6-Quinazolinediamine, N4-(3-chloro-4-fluorophenyl)-7-(cyclopropylmethoxy)- (9CI) (CA INDEX NAME)



AB The invention discloses the use of EGF-receptor antagonists for the production of a medicament to prevent and/or treat benign prostatic hyperplasia and/or prostatic hypertrophy, as well as a method for the treatment or prevention of benign prostatic hyperplasia/prostatic hypertrophy involving the administration of an EGF-receptor antagonist, optionally in combination with known compds. for the treatment of benign prostatic hyperplasia/prostatic hypertrophy, and the corresponding pharmaceutical compns. Compds. of the invention include e.g. quinazoline derivs. and monoclonal antibodies. Preparation of 4-[(3-chloro-4-fluorophenyl)amino]-6-[(4-(N-(2-methoxyethyl)-N-methylamino)-1-oxo-2-buten-1-yl)amino]-7-cyclopropylmethoxyquinazoline is described.

L6 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:855936 CAPLUS

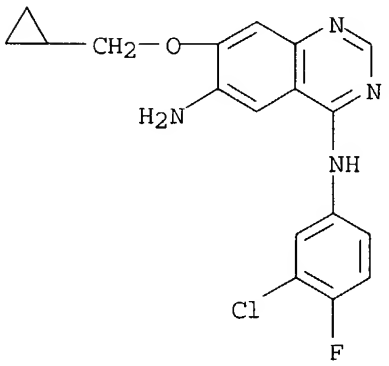
DN 139:350749

TI Preparation of 4-aminoquinazolines as inhibitors of epidermal growth factor receptor (EGF-R)

IN Himmelsbach, Frank; Jung, Birgit; Solca, Flavio
PA Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SO PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003089439	A1	20031030	WO 2003-EP3828	20030414
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			DE 2002-10217689A	20020419
DE 10217689	A1	20031113	DE 2002-10217689	20020419
US 2004044014	A1	20040304	US 2003-417647	20030417
			DE 2002-10217689A	20020419
			US 2002-387021PP	20020607

OS MARPAT 139:350749
IT **290304-07-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminoquinazolines as inhibitors of epidermal growth factor receptor (EGF-R))
RN 290304-07-3 CAPLUS
CN 4,6-Quinazolinediamine, N4-(3-chloro-4-fluorophenyl)-7-(cyclopropylmethoxy)- (9CI) (CA INDEX NAME)



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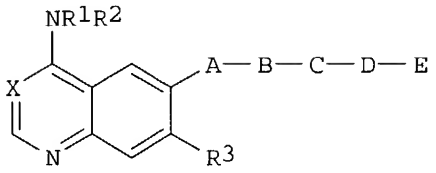


I

AB Title compds. [I; R1 = H, alkyl; R2 = Ph, benzyl, 1-phenylethyl in which Ph is substituted; R3 = H, F, Cl, Br, OH, alkoxy, fluorinated OMe, OEt, substituted alkoxy; cycloalkyloxy, tetrahydrofuran-3-yloxy, tetrahydropyran-3-yloxy, tetrahydropyran-4-yloxy, etc.; A = imino, alkylimino, B = CO, SO2; C = (substituted) 1,3-allenylene, 1,1-vinylene, 1,2-vinylene, C.tplbond.CH, etc.; D = (branched) alkylene; E = bridged pyrrolidin-1-yl, piperidin-1-yl, piperazin-1-yl, morpholin-4-yl] tautomers, stereoisomers, mixts. and salts thereof, particularly their physiol. compatible salts with inorg. or organic acids, were prepared Thus, a solution of LiCl in H2O was treated with 4-[(3-chloro-4-fluorophenyl)amino]-6-[2-(diethoxyphosphoryl)acetylamino]-7-[(S)-(tetrahydrofuran-3-yl)oxy]quinazoline (preparation given) in THF followed by addition of KOH-pellets and cooling at -3°. Then, the reaction mixture was dropwise treated with (1S,4S)-(2-oxa-5-azabicyclo[2.2.1]hept-5-yl)acetaldehyde hydrochloride (preparation given) for 5 min at 0° followed by stirring for 10 min at 0° and for 20 min at room temperature to give 60% 4-[(3-chloro-4-fluorophenyl)amino]-6-[(4-[(1S,4S)-2-oxa-5-azabicyclo[2.2.1]hept-5-yl]-1-oxo-2-buten-1-yl)amino]-7-[(S)-(tetrahydrofuran-3-yl)oxy]quinazoline. The latter inhibited EGF-receptor kinase with IC50 = 0.5 nM. The invention also relates to the use of these compds. for treating diseases, particularly tumor diseases and benign prostatic hyperplasia (BPH), diseases of the lungs and of the respiratory tract.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:656610 CAPLUS
DN 139:202486
TI Inhalants containing anticholinergic agents and EGFR kinase inhibitors
IN Jung, Birgit; Pairet, Michel; Pieper, Michael P.
PA Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SO PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

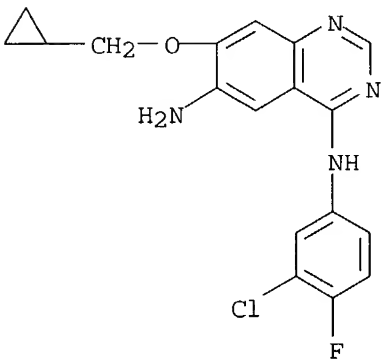
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003068264	A1	20030821	WO 2003-EP1357	20030212

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,

CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

			DE 2002-10206505A 20020216
DE 10206505	A1	20030828	DE 2002-10206505 20020216
US 2003158196	A1	20030821	US 2003-360064 20030207
			DE 2002-10206505A 20020216
			US 2002-369213PP 20020401

IT **290304-07-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(inhalants containing anticholinergic agents and EGFR kinase inhibitors)
RN 290304-07-3 CAPLUS
CN 4,6-Quinazolinediamine, N4-(3-chloro-4-fluorophenyl)-7-(cyclopropylmethoxy)- (9CI) (CA INDEX NAME)



AB The invention relates to novel medicinal compns. on the basis of anticholinergic agents and EGFR kinase inhibitors, methods for their production and their use for treating respiratory diseases. Thus a series of quinazoline derivs. were synthesized that were EGFR kinase inhibitors. A typical inhalation powder contained (μg/capsule): tiotropium bromide 10.8; EGFR kinase inhibitor 3500; lactose 3489.2.
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:607455 CAPLUS
DN 139:159940
TI Use of tyrosine kinase inhibitors for treatment of pulmonary inflammatory conditions
IN Jung, Birgit; Puschner, Hubert
PA Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SO Ger. Offen., 24 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 10204462	A1	20030807	DE 2002-10204462	20020205
WO 2003066060	A2	20030814	WO 2003-EP814	20030128
WO 2003066060	A3	20040115		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 2002-10204462A 20020205

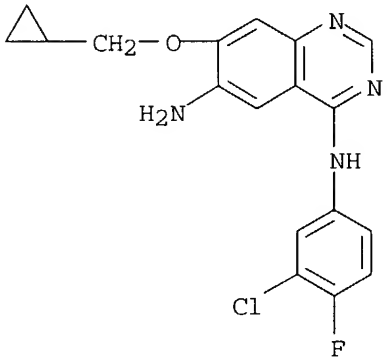
US 2003149062 A1 20030807 US 2003-353616 20030129
DE 2002-10204462A 20020205

OS MARPAT 139:159940

IT **290304-07-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(tyrosine kinase inhibitors for treatment of pulmonary inflammatory conditions)

RN 290304-07-3 CAPLUS

CN 4,6-Quinazolinediamine, N4-(3-chloro-4-fluorophenyl)-7-(cyclopropylmethoxy)- (9CI) (CA INDEX NAME)



AB The invention discloses the use of quinazoline derivs. (Markush included), or the compds. (1) 4-[(3-chloro-4-fluorphenyl)amino]-6-[(4-dimethylaminocyclohexyl)amino]pyrimido[5,4-d]pyrimidine; (2) 4-[(R)-(1-phenylethyl)amino]-6-(4-hydroxyphenyl)-7H-pyrrolo[2,3-d]pyrimidine; (3) 4-[(3-Chloro-4-(3-fluoro-4-benzyloxy)phenyl)amino]-6-[5-(((2-methansulfonylethyl)amino)methyl)-furan-2-yl]quinazoline; or the antibody cetuximab C225, trastuzumab, ABX-EGF, Mab ICR-62 and EGFR antisense, their tautomers, their stereoisomers and their salts, in particular their physiol. compatible salts with inorg. or organic acids or bases, for the production of a medication for prevention or treatment of diseases of the respiratory system or the lung. Preparation of quinazoline compds. is included.

L6 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:487536 CAPLUS

DN 137:63250

TI Quinazoline derivatives as inhibitors of human EFG tyrosine kinase

IN Himmelsbach, Frank; Langkopf, Elke; Blech, Stefan; Jung, Birgit; Baum, Elke; Solca, Flavio

PA Boehringer Ingelheim Pharma Kg, Germany

SO PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002050043	A1	20020627	WO 2001-EP14569	20011212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			DE 2000-10063435A	20001220
DE 10063435	A1	20020704	DE 2000-10063435	20001220
AU 2002019174	A5	20020701	AU 2002-19174	20011212
			DE 2000-10063435A	20001220
			WO 2001-EP14569W	20011212
EP 1345910	A1	20030924	EP 2001-271363	20011212
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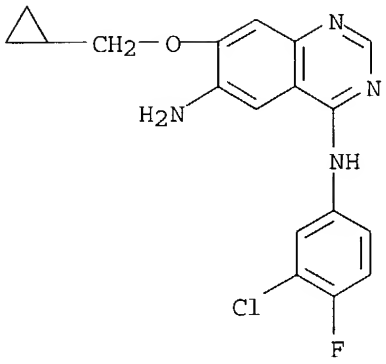
			DE 2000-10063435A	20001220
			WO 2001-EP14569W	20011212
EE 200300300	A	20031015	EE 2003-300	20011212
			DE 2000-10063435A	20001220
			WO 2001-EP14569W	20011212
BR 2001016266	A	20040217	BR 2001-16266	20011212
			DE 2000-10063435A	20001220
			WO 2001-EP14569W	20011212
US 2002173509	A1	20021121	US 2001-23099	20011217
			DE 2000-10063435A	20001220
			US 2000-259201PP	20001228
NO 2003002726	A	20030616	NO 2003-2726	20030616
			DE 2000-10063435A	20001220
			WO 2001-EP14569W	20011212

OS MARPAT 137:63250
IT **290304-07-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinazoline derivs. as inhibitors of human EFG tyrosine kinase)
RN 290304-07-3 CAPLUS
CN 4,6-Quinazolinediamine, N4-(3-chloro-4-fluorophenyl)-7-(cyclopropylmethoxy)- (9CI) (CA INDEX NAME)



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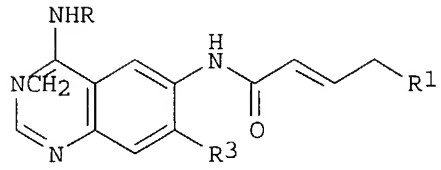

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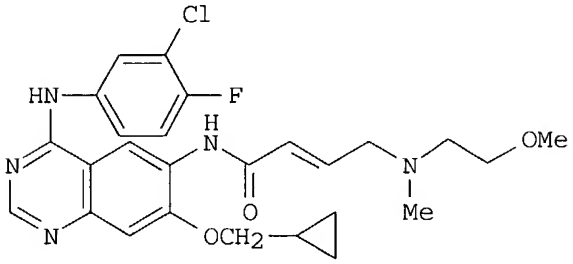

II

AB Quinazoline derivs. I [R = PhCH2, PhCHMe, 3,4-Cl(F)C6H3; R1 = NMeR2, NEt2, NEtCH2CH2OMe, N(CH2CH2OMe)2, morpholino; R2 = Me, Et, CHMe2, cyclopropyl, CH2CH2OMe, 3-tetrahydrofuryl, 2-tetrahydrofurylmethyl, 3-tetrahydrofurylmethyl, 4-tetrahydropyranyl, 4-tetrahydropyranylmethyl; R3 = cyclopropylmethoxy, cyclobutyloxy, cyclopentyloxy, 3-tetrahydrofuranyloxy, 2-tetrahydrofuranylmethoxy, 3-tetrahydrofuranylmethoxy, 4-tetrahydropyranyloxy, 4-tetrahydropyranylmethoxy] were prepared for use as inhibitors of signal transduction caused by human EFG receptor tyrosine kinase. They are useful in the treatment of tumoral diseases, diseases of the lung and the respiratory tract, the gastrointestinal tract, and the gallbladder and bile ducts. Thus, the quinazoline II was prepared by converting bromocrotonic acid to its chloride, and reaction with 4-[(3-chloro-4-fluorophenyl)amino]-6-amino-7-cyclopropylmethoxyquinazoline, followed by MeNHCH2CH2OMe. II had an IC50 against human EFG receptor kinase of 0.7 nM.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:171892 CAPLUS
DN 136:216762
TI Preparation of 4-amino-6-heterocyclylcarbonylaminoquinazolines as epidermal growth factor receptor signal transduction inhibitors
IN Himmelsbach, Frank; Langkopf, Elke; Jung, Birgit; Blech, Stefan; Solca, Flavio
PA Boehringer Ingelheim Pharma Kg, Germany
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002018376	A1	20020307	WO 2001-EP9536	20010818
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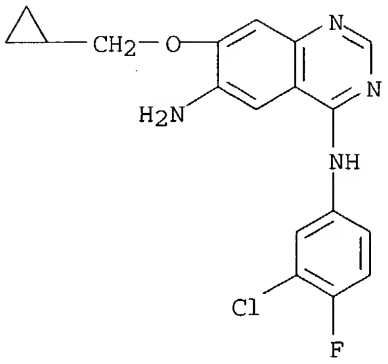
AU 2001095482	A5	20020313	AU 2001-95482	20010818
			DE 2000-10042062A	20000826
			WO 2001-EP9536 W	20010818
EP 1315720	A1	20030604	EP 2001-976108	20010818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			DE 2000-10042062A	20000826
			WO 2001-EP9536 W	20010818
JP 2004507538	T2	20040311	JP 2002-523891	20010818
			DE 2000-10042062A	20000826
			WO 2001-EP9536 W	20010818
US 2002115675	A1	20020822	US 2001-934631	20010822
			DE 2000-10042062A	20000826
			US 2000-230542PP	20000905

OS MARPAT 136:216762
IT **290304-07-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (amino)(heterocyclylcarbonylamino)quinazolines as epidermal growth factor receptor signal transduction inhibitors)
RN 290304-07-3 CAPLUS
CN 4,6-Quinazolinediamine, N4-(3-chloro-4-fluorophenyl)-7-(cyclopropylmethoxy)- (9CI) (CA INDEX NAME)



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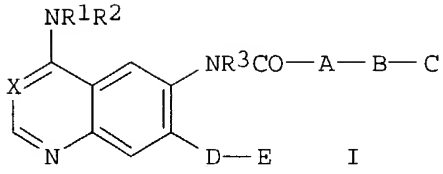

AB Title compds. [I; X = N, (substituted) methynyl; R1 = H, Me; R2 = (substituted) Ph, PhCH2, 1-phenylethyl; R3 = H, Me; A = (substituted) vinyl, ethynyl, 1,3-butadien-1,4-yl; B = (substituted) alkenyl, alkenylcarbonyl, etc.; C = (substituted) 2-oxomorpholin-4-yl, etc; D = oxyalkenyl, O; E = (substituted) amino, alkenylimino, imidazolyl, cycloalkyl; or DE = H, (substituted) alkoxy, etc.], were prepared Thus, 4-[(3-chloro-4-fluorophenyl)amino]-6-[(4-[N-(ethoxycarbonylmethyl)-N-((R)-2-hydroxy-3-methoxypropyl)amino]-1-oxo-2-buten-1-yl)amino]-7-cyclopropylmethoxyquinazoline (preparation given) and MeSO2OH in MeCN were stirred for 4 h under reflux to give 69% 4-[(3-chloro-4-fluorophenyl)amino]-6-[(4-[(R)-2-methoxymethyl-6-oxomorpholin-4-yl]-1-oxo-2-buten-1-yl)amino]-7-cyclopropylmethoxyquinazoline. The latter inhibited epidermal growth factor (EGF)-dependent proliferation of F/L-HERc cells with IC50 = 2 nM. The invention relates to the use of the title compds. for treating tumor diseases, and lung and respiratory tract disorders.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:171889 CAPLUS
DN 136:232315
TI Preparation of 4-amino-6-vinylcarbonylaminoquinazolines as epidermal growth factor receptor signal transduction inhibitors
IN Himmelsbach, Frank; Langkopf, Elke; Jung, Birgit; Blech, Stefan; Solca, Flavio
PA Boehringer Ingelheim Pharma Kg, Germany
SO PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002018373	A1	20020307	WO 2001-EP9537	20010818
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	US 6653305	B2	20031125		
				DE 2000-10042060A	20000826
				US 2000-230389PP	20000906
	AU 2001084021	A5	20020313	AU 2001-84021	20010818
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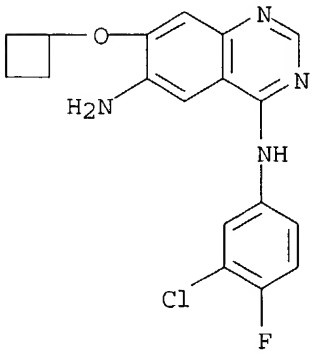
				WO 2001-EP9537 W	20010818

OS MARPAT 136:232315

IT **290303-28-5P 290303-32-1P 290303-43-4P**
290304-07-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (amino)(vinylcarbonylamino)quinazolines as epidermal growth factor receptor signal transduction inhibitors)

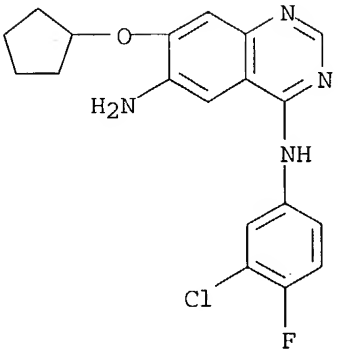
RN 290303-28-5 CAPLUS

CN 4,6-Quinazolinediamine, N4-(3-chloro-4-fluorophenyl)-7-(cyclobutyloxy)-(9CI) (CA INDEX NAME)



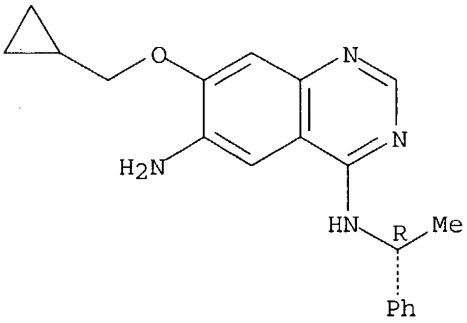
RN 290303-32-1 CAPLUS

CN 4,6-Quinazolinediamine, N4-(3-chloro-4-fluorophenyl)-7-(cyclopentyloxy)-(9CI) (CA INDEX NAME)



RN 290303-43-4 CAPLUS
CN 4,6-Quinazolinediamine, 7-(cyclopropylmethoxy)-N4-[(1R)-1-phenylethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 290304-07-3 CAPLUS
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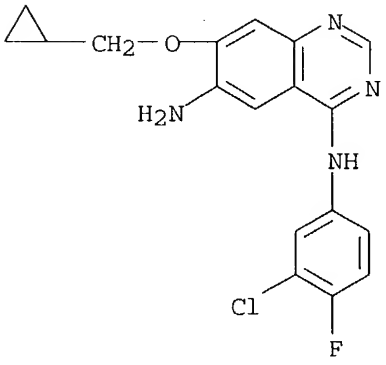

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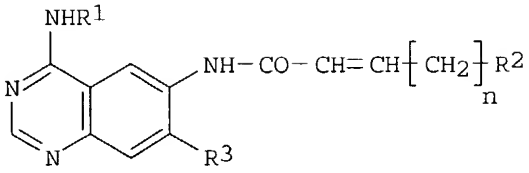

I

AB Title compds. [I; R1 = PhCH2, 1-phenylethyl, (substituted) Ph; R2 = N-[(1,3-dioxolan-2-yl)methyl]methylamino, (substituted) R4OCOCH2NCH2CH2OH, 2-oxomorpholin-4-yl; R4 = H, alkyl; R3 = H, (alkoxy)alkoxy, cycloalkylalkoxy, tetrahydrofuran-3-yloxy, tetrahydropyran-3-yloxy, tetrahydropyran-4-yloxy, tetrahydrofuranylmethoxy, tetrahydropyranylmethoxy; n = 1-3], were prepared Thus, a mixture of 6-amino-4-[(3-chloro-4-fluorophenyl)amino]-7-cyclopropylmethoxyquinazoline (preparation given) and disopropylethylamine in THF was dropwise treated under ice-cooling with BrCH2CH:CHCO2Cl (preparation given) in CH2Cl2 followed by stirring for 1 h under ice-cooling and for 2 h at room temperature and addition of (S)-(2-hydroxypropylamino)acetic acid tert-Bu ester in CH2Cl2 to give after stirring over night at room temperature and stirring for 5 h at 60° 64% 4-[(3-chloro-4-fluorophenyl)amino]-6-[(4-[N-(tert-butyloxycarbonylmethyl)-N-((S)-2-hydroxyprop-1-yl)amino]-1-oxo-2-buten-1-yl)amino]-7-cyclopropylmethoxyquinazoline. Several I inhibited epidermal growth factor (EGF)-dependent proliferation of F/L-HERc cells with IC50 = 0.02-15 nM. The invention relates to the use of the title compds. for treating tumor diseases, and lung and respiratory tract disorders.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:762992 CAPLUS
DN 135:303907
TI Preparation of quinazolines as inhibitors of epidermal growth factor-mediated signal transduction.
IN Himmelsbach, Frank; Langkopf, Elke; Jung, Birgit; Blech, Stefan; Solca, Flavio
PA Boehringer Ingelheim Pharma K.-G., Germany
SO PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001077104	A1	20011018	WO 2001-EP3694	20010331

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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DE 2000-10040525A 20000818

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DE 10040525 A1 20020228 DE 2000-10040525 20000818
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DE 2000-10040525A 20000818
WO 2001-EP3694 W 20010331
EP 1280798 A1 20030205 EP 2001-938076 20010331
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DE 2000-10040525A 20000818
WO 2001-EP3694 W 20010331
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DE 2000-10040525A 20000818
WO 2001-EP3694 W 20010331

PATENT FAMILY INFORMATION:

FAN 2001:747043

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	WO 2001077104	A1	20011018	WO 2001-EP3694	20010331
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				WO 2001-EP3694 W	20010331
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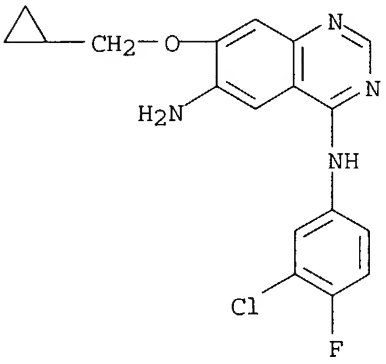
				DE 2000-10017539A	20000408
				DE 2000-10040525A	20000818
				WO 2001-EP3694 W	20010331

OS MARPAT 135:303907

IT **290304-07-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolines as inhibitors of epidermal growth factor-mediated signal transduction)

RN 290304-07-3 CAPLUS

CN 4,6-Quinazolinediamine, N4-(3-chloro-4-fluorophenyl)-7-(cyclopropylmethoxy)- (9CI) (CA INDEX NAME)



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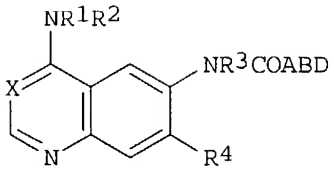

I

AB Title compds. [I; X = NCN, N; R1 = H, alkyl; R2 = (substituted) Ph, PhCH2, PhCH2CH2; R3 = H, alkyl; R4 = H, alkoxy, cycloalkoxy, cycloalkylalkoxy; A = (substituted) vinylene; B = bond, (fluoro)alkylene; D = substituted pyrrolidinyl, piperidinyl, piperazinyl, etc.], were prepared Thus, 4-[(3-chloro-4-fluorophenyl)amino]-6-[[4-(piperazin-1-yl)-1-oxo-2-buten-1-yl]amino]-7-cyclopropylmethoxyquinazoline (preparation given) in THF was treated with Et3N and then with 3-bromodihydrofuran-2-one in THF under ice cooling followed by stirring for 48 h at room temperature to give 56% 4-[(3-chloro-4-fluorophenyl)amino]-6-[[4-[4-(2-oxotetrahydrofuran-3-yl)piperazin-1-yl]-1-oxo-2-buten-1-yl]amino]-7-cyclopropylmethoxyquinazoline. The latter inhibited epidermal growth factor (EGF)-dependent proliferation of F/L-HERc cells with IC50 = 0.05 nM.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:747043 CAPLUS
DN 135:303901
TI Bicyclic heterocycles as inhibitors of epidermal growth factor receptor mediated signal transduction
IN Himmelsbach, Frank; Langkopf, Elke; Jung, Birgit; Blech, Stefan; Solca, Flavio
PA Boehringer Ingelheim Pharma KG, Germany
SO Ger. Offen., 28 pp.
CODEN: GWXXBX
DT Patent

LA German
FAN.CNT 2

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				WO 2001-EP3694 W	20010331

PATENT FAMILY INFORMATION:
FAN 2001:762992

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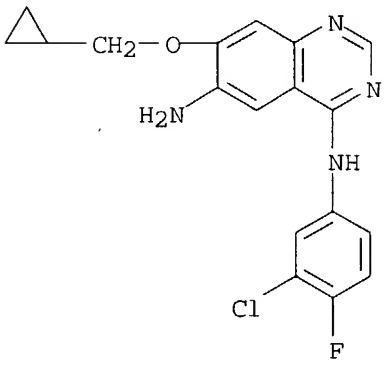
JP 2003530395 T2 20031014
JP 2001-575577 20010331
DE 2000-10017539A 20000408
DE 2000-10040525A 20000818
WO 2001-EP3694 W 20010331

OS MARPAT 135:303901

IT **290304-07-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic heterocycles as inhibitors of epidermal growth factor receptor mediated signal transduction)

RN 290304-07-3 CAPLUS

CN 4,6-Quinazolinediamine, N4-(3-chloro-4-fluorophenyl)-7-(cyclopropylmethoxy)- (9CI) (CA INDEX NAME)



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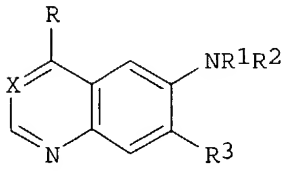

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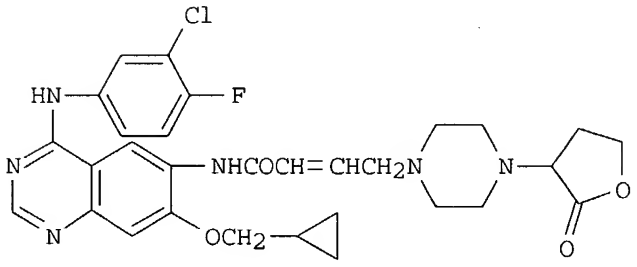

II

AB Bicyclic heterocycles I [X = N, CCN; R = substituted NH2; R1 = H, alkyl; R2 = acyl; R3 = H, (un)substituted alkoxy, cycloalkoxy, tetrahydrofuranyloxy, tetrahydropyranyloxy, tetrahydrofuranylmethoxy, tetrahydropyranylmethoxy] were prepared for use as inhibitors of tyrosine kinase-mediated signal transduction for treatment of tumors and diseases of the lung and airway. Thus, 4-[(3-chloro-4-fluorophenyl)amino]-7-fluoro-6-nitroquinazoline was treated with cyclopropylmethanol, followed by reduction to the amine, reaction with 4-bromocrotonic acid and N-tert.-butoxycarbonylpiperazine, and deblocking to give the quinazoline II. II had an IC50 for inhibition of epidermal growth factor dependent proliferation of 0.05 nM.

L6 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:911231 CAPLUS
DN 134:71599
TI Preparation of aminoquinazolines and aminoquinolines as epidermal growth factor receptor signal transduction inhibitors.
IN Himmelsbach, Frank; Langkopf, Elke; Metz, Thomas; Solca, Flavio; Jung, Birgit; Baum, Anke
PA Boehringer Ingelheim Pharma K.-G., Germany
SO PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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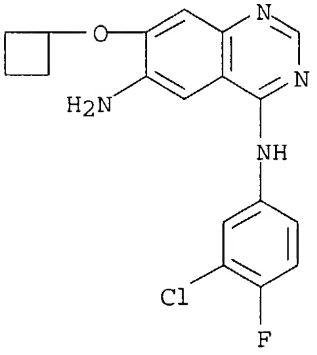
OS MARPAT 134:71599

IT **290303-28-5P 290303-32-1P 290303-41-2P**
290303-42-3P 290303-43-4P 290304-07-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminoquinazolines and aminoquinolines as epidermal growth factor receptor signal transduction inhibitors)

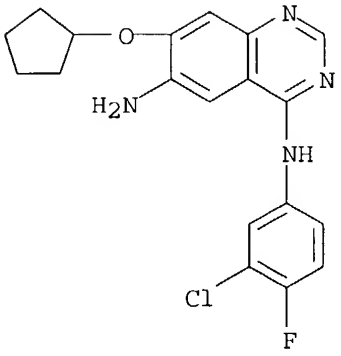
RN 290303-28-5 CAPLUS

CN 4,6-Quinazolinediamine, N4-(3-chloro-4-fluorophenyl)-7-(cyclobutyloxy)-(9CI) (CA INDEX NAME)



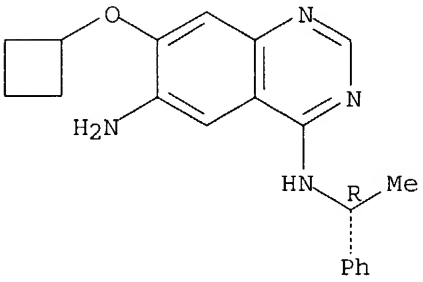
RN 290303-32-1 CAPLUS

CN 4,6-Quinazolinediamine, N4-(3-chloro-4-fluorophenyl)-7-(cyclopentyloxy)-(9CI) (CA INDEX NAME)



RN 290303-41-2 CAPLUS
CN 4,6-Quinazolinediamine, 7-(cyclobutyloxy)-N4-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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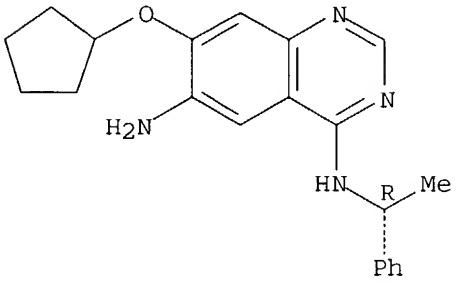
Absolute stereochemistry.



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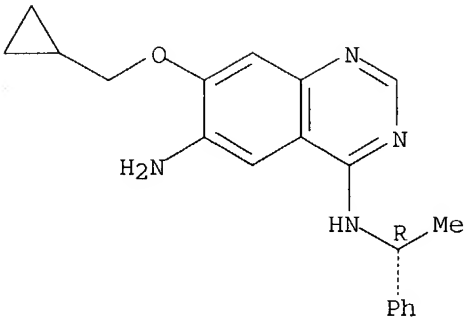
Absolute stereochemistry.



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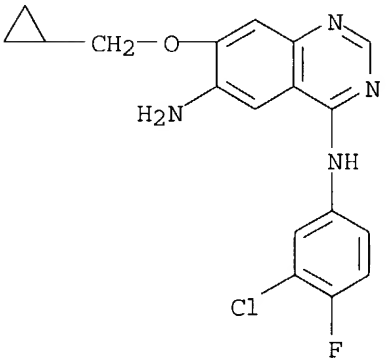

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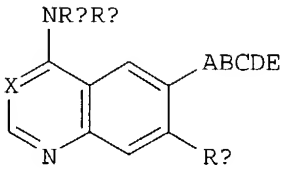

I

AB Title compds. [I; Ra = H, alkyl; Rb = (substituted) Ph, PhCH2, PhCH2CH2; Rc = (substituted) cycloalkoxy, cycloalkylalkoxy; A = (alkyl-substituted) imino; B = CO, SO2; C = (substituted) allenylene, vinylene, butadienylene, ethynylene; D = (fluorinated) alkylene, carbonylalkylene, sulfonylalkylene, carbonyloxyalkylene, carbonyliminoalkylene, bond, etc.; E = amino, (substituted) alkylamino, dialkylamino, etc.], were prepared Thus, 6-amino-4-[(3-bromophenyl)amino]-7-[3-(1-methylpiperidin-4-yl)propoxy]quinazoline (preparation given) in CH2Cl2 containing Et3N at -10° was treated with acryloyl chloride in THF to give 35% 4-[(3-bromophenyl)amino]-7-[3-(1-methylpiperidin-4-yl)propyloxy]-6-[(vinylcarbonyl)amino]quinazoline. The latter inhibited EGF-dependent proliferation of F/L HERC cells with IC50 = <0.35 nM.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:628125 CAPLUS
DN 133:207919
TI Preparation of 4-amino-quinazoline and quinoline derivatives having an inhibitory effect on signal transduction mediated by tyrosine kinases useful for treating tumoral diseases, lung and respiratory tract diseases
IN Himmelsbach, Frank; Langkopf, Elke; Jung, Birgit; Metz, Thomas; Solca, Flavio; Blech, Stefan
PA Boehringer Ingelheim Pharma K.-G., Germany
SO PCT Int. Appl., 232 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000051991	A1	20000908	WO 2000-EP1496	20000224
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PATENT FAMILY INFORMATION:

FAN 2000:607393

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OS MARPAT 133:207919

IT **290304-07-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminoquinazoline and aminoquinoline derivs. having an inhibitory effect on signal transduction mediated by tyrosine kinases useful for treating tumoral diseases, lung and respiratory tract diseases)

RN 290304-07-3 CAPLUS

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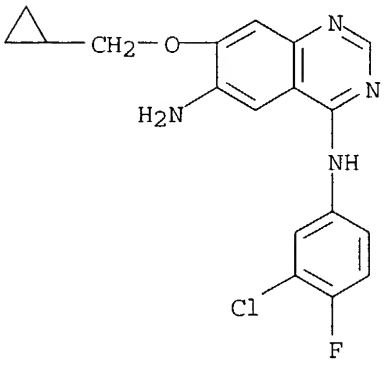

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminoquinazoline and aminoquinoline derivs. having an inhibitory effect on signal transduction mediated by tyrosine kinases useful for treating tumoral diseases, lung and respiratory tract diseases)

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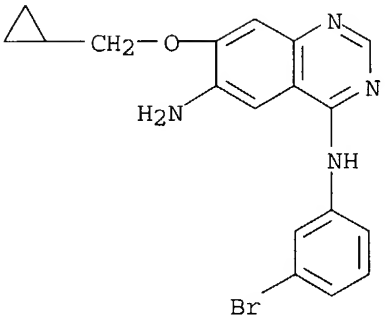

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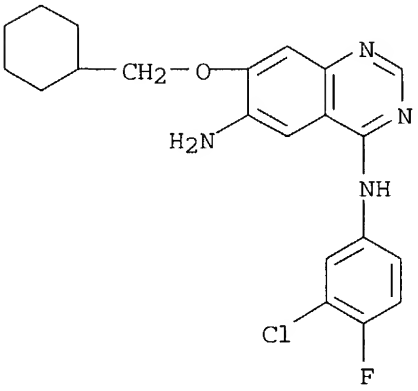

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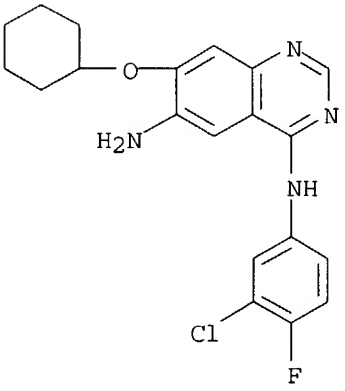

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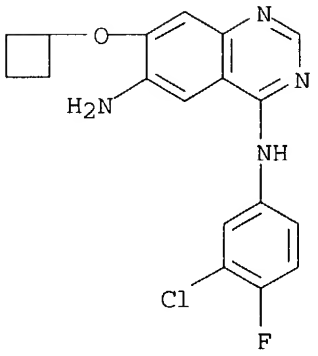

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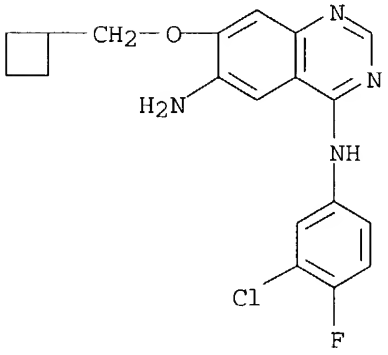

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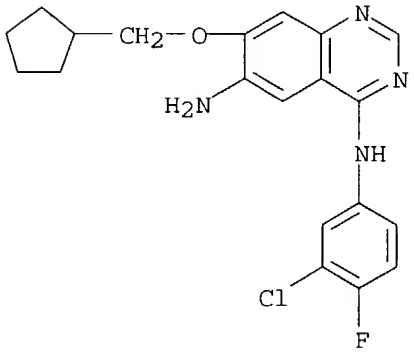

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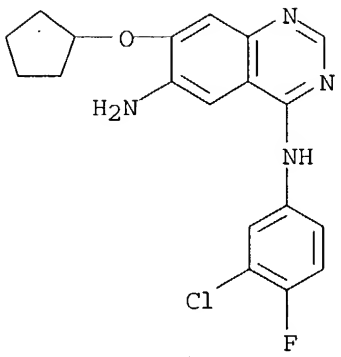

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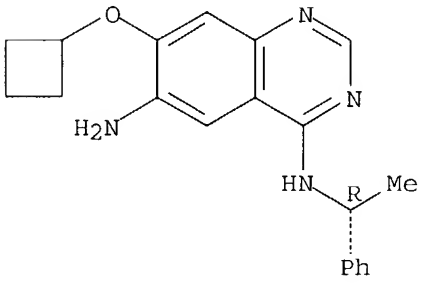
Absolute stereochemistry.



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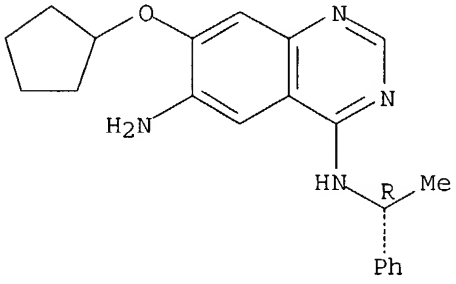
Absolute stereochemistry.



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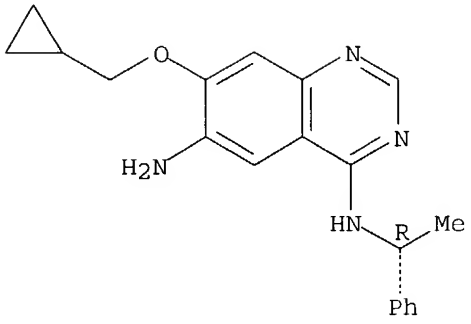
Absolute stereochemistry.



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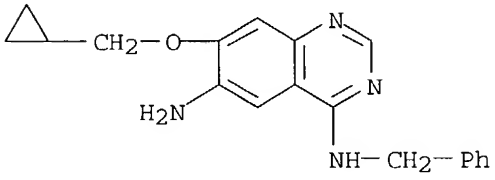

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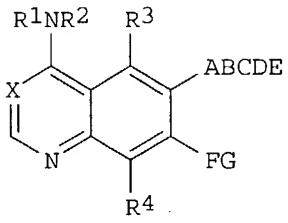

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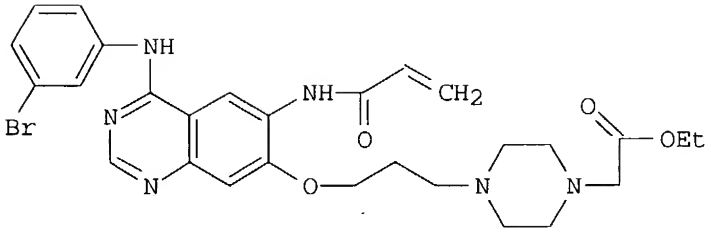

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AB Title compds. [I; R1 = H, C1-C4-alkyl; R2 = (un)substituted Ph, benzyl, 1-phenylethyl; R3, R4 independently = H, F, Cl, CH3O, CH3OCH2, (CH3)2NCH2,

(CH3CH2)2NCH2, pyrrolidino, piperidino, morpholino; X = C(CN), N; A = O, NH, (C1-C4)-alkylN; B = CO, SO2; C = 1,3-allenylene, 1,1-vinylene, 1,2-vinylene, 1,3-butadien-1,4-ylene, with CH3, CF3 substitution; D = alkylene, CO-alkylene, SO2-alkylene; CO, SO2; E = HOCO(CH2)nNR5, (HO)2P(:O)(CH2)nNR5; n = 1-6; R5 = H, alkyl], tautomers, stereoisomers, and physiol. acceptable salts are prepared and having valuable pharmacol. properties, particularly an inhibiting effect on signal transduction mediated by tyrosine kinases. Title compds. are useful for treating tumoral diseases, diseases of the lungs and respiratory tract. Thus, the title compound II was prepared and tested by Cell Titer 96TM Aqueous Nonradioactive Cell Proliferation Assay.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ABS ------ AB
ALL ------ BIB, AB, IND, RE, and MSTR
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BIB --------- AN, plus Bibliographic Data and PI table (default)
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DMAX -------- MAX, delimited for post-processing
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IPC ------ International Patent Classifications
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HIT ------ Fields containing hit text terms and the Markush
           structures containing the query structure
FHIT ----- Fields containing the first hit text terms and the first
           Markush structures containing the query structure
QHIT ----- Fields containing query focus hit text terms and the
           Markush structures containing the query structure
FQHIT ---- Fields containing the first query focus hit text terms and
           the first Markush structures containing the query structure

To display a particular field or fields, enter the display field
codes.  For a list of the display field codes, enter "HELP DFIELDS"
at an arrow prompt (=>).  Examples of formats include: "TI";
"TI,MSTR,ABS"; "BIB,ST"; "TI,IND"; "TI,SO".  You may specify the
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All of the formats (except for SAM, SCAN, FHIT, HIT, FQHIT, or QHIT) may
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=> fdile caplus
FDILE IS NOT A RECOGNIZED COMMAND
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For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> file caplus
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                              ENTRY     SESSION
FULL ESTIMATED COST                            0.44      499.02
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION

CA SUBSCRIBER PRICE 0.00 -8.32

FILE 'CAPLUS' ENTERED AT 15:28:23 ON 16 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 16 Apr 2004 VOL 140 ISS 17
FILE LAST UPDATED: 15 Apr 2004 (20040415/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 15:25:16 ON 16 APR 2004)

FILE 'REGISTRY' ENTERED AT 15:25:26 ON 16 APR 2004
L1 STRUCTURE UPLOADED
L2 12 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 15:25:56 ON 16 APR 2004
L3 16 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 15:26:29 ON 16 APR 2004
S L1

FILE 'REGISTRY' ENTERED AT 15:26:35 ON 16 APR 2004
L4 12 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 15:26:36 ON 16 APR 2004
L5 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:26:42 ON 16 APR 2004
L6 12 S L2
L7 16 S L3

FILE 'MARPAT' ENTERED AT 15:27:58 ON 16 APR 2004

FILE 'CAPLUS' ENTERED AT 15:28:03 ON 16 APR 2004

FILE 'CAPLUS' ENTERED AT 15:28:23 ON 16 APR 2004

=> s l3

L8 16 L3

=> d l8 fbib hitstr abs total

L8 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:434373 CAPLUS
DN **139:6886**
TI Preparation of quinazoline derivatives for the treatment of T cell mediated diseases
IN Moore, Nelly Corine; Oldham, Keith
PA Astrazeneca A.B., Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003045395	A1	20030605	WO 2002-GB5222	20021120

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

GB 2001-28108 A 20011123

OS MARPAT 139:6886
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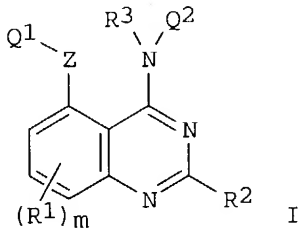

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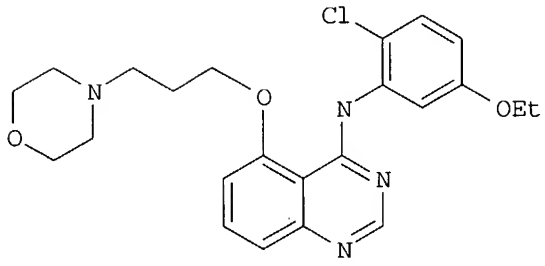

II

AB Title compds. I [m = 0-3; R1 = halo, CF3, CN, NO2, etc.; R2 = H, alkyl; R3 = H, alkyl; Z = bond, O, SO0-2, amino, etc.; Q1 = aryl(alkyl), cycloalkyl, cycloalkenyl, heteroaryl, etc.; Q2 = phenyl] are prepared For instance, 4-[[2-chloro-5-ethoxyphenyl]amino]-5-hydroxy-7-methoxyquinazoline (preparation given) was coupled to 4-(3-hydroxypropyl)morpholine (CH2Cl2, Ph3P, t-BuO2C-N=N-CO2Bu-t) to give II. I are useful for the prevention or treatment of T cell mediated diseases.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:487536 CAPLUS
DN **137:63250**
TI Quinazoline derivatives as inhibitors of human EFG tyrosine kinase
IN Himmelsbach, Frank; Langkopf, Elke; Blech, Stefan; Jung, Birgit; Baum, Elke; Solca, Flavio
PA Boehringer Ingelheim Pharma Kg, Germany
SO PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002050043	A1	20020627	WO 2001-EP14569	20011212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			DE 2000-10063435A	20001220
DE 10063435	A1	20020704	DE 2000-10063435	20001220
AU 2002019174	A5	20020701	AU 2002-19174	20011212
			DE 2000-10063435A	20001220
			WO 2001-EP14569W	20011212
EP 1345910	A1	20030924	EP 2001-271363	20011212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			DE 2000-10063435A	20001220
			WO 2001-EP14569W	20011212
EE 200300300	A	20031015	EE 2003-300	20011212
			DE 2000-10063435A	20001220
			WO 2001-EP14569W	20011212
BR 2001016266	A	20040217	BR 2001-16266	20011212
			DE 2000-10063435A	20001220
			WO 2001-EP14569W	20011212
US 2002173509	A1	20021121	US 2001-23099	20011217
			DE 2000-10063435A	20001220
			US 2000-259201PP	20001228
NO 2003002726	A	20030616	NO 2003-2726	20030616
			DE 2000-10063435A	20001220
			WO 2001-EP14569W	20011212

OS MARPAT 137:63250

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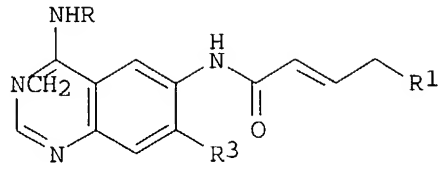

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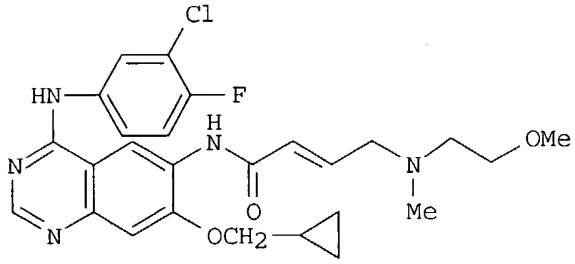

II

AB Quinazoline derivs. I [R = PhCH2, PhCHMe, 3,4-Cl(F)C6H3; R1 = NMeR2, NEt2, NEtCH2CH2OMe, N(CH2CH2OMe)2, morpholino; R2 = Me, Et, CHMe2, cyclopropyl, CH2CH2OMe, 3-tetrahydrofuryl, 2-tetrahydrofurylmethyl, 3-tetrahydrofurylmethyl, 4-tetrahydropyranyl, 4-tetrahydropyranylmethyl; R3 = cyclopropylmethoxy, cyclobutyloxy, cyclopentyloxy, 3-tetrahydrofuranyloxy, 2-tetrahydrofuranylmethoxy, 3-tetrahydrofuranylmethoxy, 4-tetrahydropyranyloxy, 4-tetrahydropyranylmethoxy] were prepared for use as inhibitors of signal transduction caused by human EFG receptor tyrosine kinase. They are useful in the treatment of tumoral diseases, diseases of the lung and the respiratory tract, the gastrointestinal tract, and the gallbladder and bile ducts. Thus, the quinazoline II was prepared by converting bromocrotonic acid to its chloride, and reaction with 4-[(3-chloro-4-fluorophenyl)amino]-6-amino-7-cyclopropylmethoxyquinazoline, followed by MeNHCH2CH2OMe. II had an IC50 against human EFG receptor kinase of 0.7 nM.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:171889 CAPLUS
DN **136:232315**
TI Preparation of 4-amino-6-vinylcarbonylaminoquinazolines as epidermal growth factor receptor signal transduction inhibitors
IN Himmelsbach, Frank; Langkopf, Elke; Jung, Birgit; Blech, Stefan; Solca, Flavio
PA Boehringer Ingelheim Pharma Kg, Germany
SO PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 2002018373	A1	20020307	WO 2001-EP9537	20010818
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				DE 2000-10042060A	20000826
	DE 10042060	A1	20020307	DE 2000-10042060	20000826
	US 2002077330	A1	20020620	US 2001-929931	20010815
	US 6653305	B2	20031125		
				DE 2000-10042060A	20000826
				US 2000-230389PP	20000906
	AU 2001084021	A5	20020313	AU 2001-84021	20010818
				DE 2000-10042060A	20000826
				WO 2001-EP9537 W	20010818
	EP 1315717	A1	20030604	EP 2001-962953	20010818
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				DE 2000-10042060A	20000826
				WO 2001-EP9537 W	20010818

OS MARPAT 136:232315

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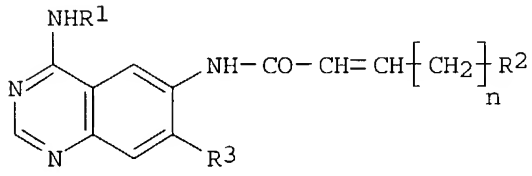


I

AB Title compds. [I; R1 = PhCH2, 1-phenylethyl, (substituted) Ph; R2 = N-[(1,3-dioxolan-2-yl)methyl]methylamino, (substituted) R4OCOCH2NCH2CH2OH, 2-oxomorpholin-4-yl; R4 = H, alkyl; R3 = H, (alkoxy)alkoxy, cycloalkylalkoxy, tetrahydrofuran-3-yloxy, tetrahydropyran-3-yloxy, tetrahydropyran-4-yloxy, tetrahydrofuranylmethoxy, tetrahydropyranylmethoxy; n = 1-3], were prepared Thus, a mixture of 6-amino-4-[(3-chloro-4-fluorophenyl)amino]-7-cyclopropylmethoxyquinazoline (preparation given) and disopropylethylamine in THF was dropwise treated under ice-cooling with BrCH2CH:CHCO2Cl (preparation given) in CH2Cl2 followed by stirring for 1 h under ice-cooling and for 2 h at room temperature and addition of (S)-(2-hydroxypropylamino)acetic acid tert-Bu ester in CH2Cl2 to give after stirring over night at room temperature and stirring for 5 h at 60° 64% 4-[(3-chloro-4-fluorophenyl)amino]-6-[(4-[N-(tert-butyloxycarbonylmethyl)-N-((S)-2-hydroxyprop-1-yl)amino]-1-oxo-2-buten-1-yl)amino]-7-cyclopropylmethoxyquinazoline. Several I inhibited epidermal growth factor (EGF)-dependent proliferation of F/L-HERc cells with IC50 = 0.02-15 nM. The invention relates to the use of the title compds. for treating tumor diseases, and lung and respiratory tract disorders.

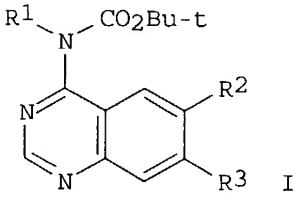
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:157044 CAPLUS
DN **136:216752**
TI Preparation of 4-aminoquinazolines as inhibitors of signal transduction mediated by tyrosine kinase
IN Himmelsbach, Frank
PA Boehringer Ingelheim Pharma K.-G., Germany
SO Ger. Offen., 10 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 10040527	A1	20020228	DE 2000-10040527	20000818
			DE 2000-10040527	20000818

OS MARPAT 136:216752
GI



I

AB Title compds. [I; R1 = PhCH2, (substituted) Ph; R2 = OH, alkylcarbonyloxy, amino, NO2; R3 = H, F, Cl, Br, cycloalkoxy, cycloalkylalkoxy, (substituted) alkoxy], and stereoisomers and salts thereof are claimed. I were said to inhibit signal transduction mediated by tyrosine kinase.

L8 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:904160 CAPLUS
DN **136:20087**
TI Preparation of 4-anilinoquinazoline derivatives for the treatment of tumors
IN Hennequin, Laurent Francois Andre; Ple, Patrick
PA Astrazeneca Ab, Swed.; Astrazeneca Uk Limited
SO PCT Int. Appl., 234 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001094341	A1	20011213	WO 2001-GB2424	20010601
WO 2001094341	C2	20030417		

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UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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EP 2000-401581 A 20000606
EP 2001-400297 A 20010207
EP 2001-400565 A 20010305
EP 1292594 A1 20030319 EP 2001-934176 20010601
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 2000-401581 A 20000606
EP 2001-400297 A 20010207
EP 2001-400565 A 20010305
WO 2001-GB2424 W 20010601
BR 2001011335 A 20030610 BR 2001-11335 20010601
EP 2000-401581 A 20000606
EP 2001-400297 A 20010207
EP 2001-400565 A 20010305
WO 2001-GB2424 W 20010601
JP 2003535859 T2 20031202 JP 2002-501890 20010601
EP 2000-401581 A 20000606
EP 2001-400297 A 20010207
EP 2001-400565 A 20010305
WO 2001-GB2424 W 20010601
BG 107332 A 20030731 BG 2002-107332 20021128
EP 2000-401581 A 20000606
EP 2001-400297 A 20010207
EP 2001-400565 A 20010305
WO 2001-GB2424 W 20010601
NO 2002005792 A 20021202 NO 2002-5792 20021202
EP 2000-401581 A 20000606
EP 2001-400297 A 20010207
EP 2001-400565 A 20010305
WO 2001-GB2424 W 20010601

OS MARPAT 136:20087
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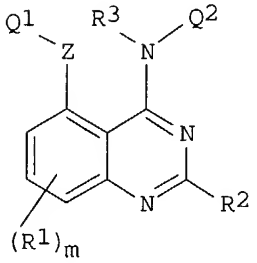

I

AB The invention concerns quinazoline derivs. (I; e.g. 4-(2-chloro-5-methoxyanilino)-7-methoxy-5-(3-morpholinopropoxy)quinazoline (1)), processes for their preparation, pharmaceutical compns. containing them and their use in the manufacture of a medicament for use as an anti-invasive agent in the containment and/or treatment of solid tumor disease. Although biol. assay

methods are described, no test results are reported. It is believed that the antitumor activity is due to inhibition of one or more of the non-receptor tyrosine-specific protein kinases of the Src family that are involved in the signal transduction steps that lead to the invasiveness and migratory ability of metastasizing tumor cells. In I, according to the 1st claim, m = 0-3; each R1 = halo, trifluoromethyl, cyano, isocyano, nitro, hydroxy, mercapto, amino, formyl, carboxy, carbamoyl, (1-6C)alkyl, (2-8C)alkenyl, (2-8C)alkynyl, (1-6C)alkoxy, (2-6C)alkenyloxy, (2-6C)alkynyloxy, (1-6C)alkylthio, (1-6C)alkylsulfinyl, (1-6C)alkylsulfonyl, (1-6C)alkylamino, di[(1-6C)alkyl]amino, (1-6C)alkoxycarbonyl, N-(1-6C)alkylcarbamoyl, N,N-di[(1-6C)alkyl]carbamoyl, (2-6C)alkanoyl, (2-6C)alkanoyloxy, (2-6C)alkanoylamino, N-(1-6C)alkyl-(2-6C)alkanoylamino, (3-6C)alkenoylamino, N-(1-6C)alkyl-(3-6C)alkenoylamino, (3-6C)alkynoylamino, N-(1-6C)alkyl-(3-6C)alkynoylamino, N-(1-6C)alkylsulfamoyl, N,N-di[(1-6C)alkyl]sulfamoyl, (1-6C)alkanesulfonylamino and N-(1-6C)alkyl-(1-6C)alkanesulfonylamino, or Q3-X1- (X1 = direct bond, O, S, SO, SO2, N(R4), CO, CH(OR4), CON(R4), N(R4)CO, SO2N(R4), N(R4)SO2, OC(R4)2, SC(R4)2 and N(R4)C(R4)2 (R4 = H or (1-6C)alkyl) and Q3 = aryl, aryl-(1-6C)alkyl, (3-7C)cycloalkyl, (3-7C)cycloalkyl-, (1-6C)alkyl, (3-7C)cycloalkenyl, (3-7C)cycloalkenyl-(1-6C)alkyl, heteroaryl, heteroaryl-(1-6C)alkyl, heterocyclyl or heterocyclyl-(1-6C)alkyl), or (R1)m is (1-3C)alkylenedioxy, with addnl. optional substitution and/or insertion possible. R2 = H or (1-6C)alkyl; R3 = H or (1-6C)alkyl; Z = direct bond, O, S, SO, SO2, N(R11), CO, CH(OR11), CON(R11), N(R11)CO, SO2N(R11), N(R11)SO2, OC(R11)2, SC(R11)2 and N(R11)C(R11)2 (R11 = H, or (1-6C)alkyl). Q1 = aryl, aryl-(1-6C)alkyl, (3-7C)cycloalkyl, (3-7C)cycloalkyl-(1-6C)alkyl, (3-7C)cycloalkenyl, (3-7C)cycloalkenyl-(1-6C)alkyl, heteroaryl, heteroaryl-(1-6C)alkyl, heterocyclyl or heterocyclyl-(1-6C)alkyl, or, when Z is a direct bond or O, Q1 may be (1-6C)alkyl, (2-8C)alkenyl, (2-8C)alkynyl, halo-(1-6C)alkyl, hydroxy-(1-6C)alkyl, (1-6C)alkoxy-(1-6C)alkyl, cyano-(1-6C)alkyl, amino-(1-6C)alkyl, (1-6C)alkylamino-(1-6C)alkyl, di[(1-6C)alkyl]amino-(1-6C)alkyl, (1-6C)alkylthio-(1-6C)alkyl, (1-6C)alkylsulfinyl-(1-6C)alkyl or (1-6C)alkylsulfonyl-(1-6C)alkyl, with addnl. optional substitution and/or insertion possible. Q2 = substituted Ph. More than 50 example prepns. are included. For example, 1 was obtained by adding di-tert-Bu azodicarboxylate (0.208 g) dropwise to a stirred mixture of 4-(2-chloro-5-methoxyanilino)-5-hydroxy-7-methoxyquinazoline (0.2 g), 4-(3-hydroxypropyl)morpholine, PPh3 (0.237 g) and CH2Cl2 (3 mL). The reaction mixture was stirred at ambient temperature for 1 h.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:228866 CAPLUS
DN **134:266317**
TI Preparation of quinazolines as aurora 2 kinase inhibitors
IN Mortlock, Andrew Austen; Keen, Nicholas John; Jung, Frederic Henri; Brewster, Andrew George
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 2001021596 A1 20010329 WO 2000-GB3580 20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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BR 2000014116 A 20020521 BR 2000-14116 20000918
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EP 1218354 A1 20020703 EP 2000-960840 20000918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL
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GB 1999-22170 A 19990921
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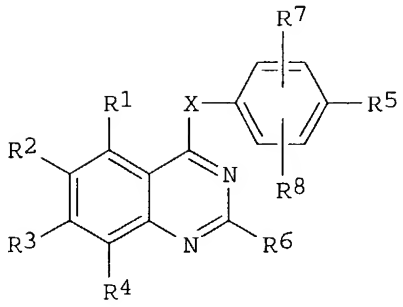
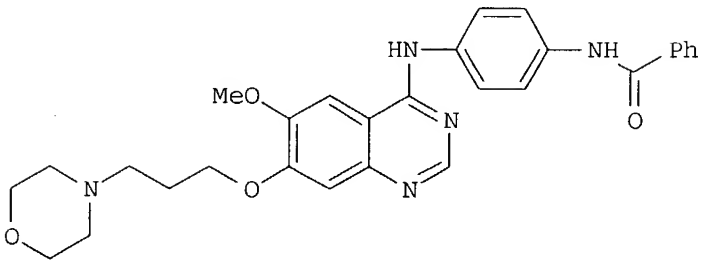
NO 2002001399 A 20020430 NO 2002-1399 20020320
GB 1999-22154 A 19990921
GB 1999-22170 A 19990921
WO 2000-GB3580 W 20000918

OS MARPAT 134:266317
GI



I



II

AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR12; R12 = H or alkyl; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R13, or R15X1; R13 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, CO2, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R15 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; R5 = NHCO2R9, NHCOR9, NHSO2R9, COR9, CO2R9, SOR9, SO2OR9, CONR10R11, SONR10R11, or SO2NR10R11; R9-R11 = independently H or (un)substituted hydrocarbyl or heterocyclyl; or R10 and R11 together with the N to which they are attached = (un)substituted heterocyclyl; R6 = H or (un)substituted hydrocarbyl or heterocyclyl; R7 and R8 = independently H, halo, alkyl, (di)alkoxy(methyl), alkanoyl, CF3, CN, NHY2, alkenyl, alkynyl, or (un)substituted Ph, PhCH2, or heterocyclyl; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, a 7-step sequence involving (1) alkylation of morpholine with 1-bromo-3-chloropropane (49%), (2) addition of Et vanillate to yield Et 3-methoxy-4-(3-morpholinopropoxy)benzoate (100%), (3) nitration (86%), (4) reduction to the amine using 10% Pd/C (100%), (5) cycloaddn. with formamide to form the quinazoline(68%), (6) chlorination to give 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline (60%), and (7) amination with N-benzoyl-4-aminoaniline (58%) yielded II. The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.0193 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.06 μM and reduced BrdU incorporation into cellular DNA by 50% at 0.159-0.209 μM.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:228865 CAPLUS
DN **134:266316**
TI Preparation of quinazoline derivatives, method of preparation and use in

inhibiting aurora 2 kinase
IN Mortlock, Andrew Austen; Keen, Nicholas John
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001021595	A1	20010329	WO 2000-GB3562	20000918
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				GB 1999-22173 A	19990921
	BR 2000014136	A	20020521	BR 2000-14136	20000918
				GB 1999-22173 A	19990921
				WO 2000-GB3562 W	20000918
	EP 1218357	A1	20020703	EP 2000-962682	20000918
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
				GB 1999-22173 A	19990921
				WO 2000-GB3562 W	20000918
	JP 2003509498	T2	20030311	JP 2001-524974	20000918
				GB 1999-22173 A	19990921
				WO 2000-GB3562 W	20000918
	EE 200200148	A	20030415	EE 2002-148	20000918
				GB 1999-22173 A	19990921
				WO 2000-GB3562 W	20000918
	ZA 2002001831	A	20030605	ZA 2002-1831	20020305
				GB 1999-22173 A	19990921
	NO 2002001395	A	20020515	NO 2002-1395	20020320
				GB 1999-22173 A	19990921
				WO 2000-GB3562 W	20000918
	BG 106535	A	20021229	BG 2002-106535	20020320
				GB 1999-22173 A	19990921
				WO 2000-GB3562 W	20000918

OS MARPAT 134:266316
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB I or a salt, ester, amide or prodrug thereof, a method for the preparation of I and the use of the claimed compds. for inhibiting aurora 2 kinase are claimed. These compds. are useful in the treatment of cancer. In I: X is O, or S, S(O) or S(O)2 or NR10 where R10 is H or C1-6 alkyl. R5 is OR11, NR12R13 or SR11 where R11, R12 and R13 are independently optionally substituted hydrocarbyl or optionally substituted heterocyclic groups, and R12 and R13 may addnl. form together with the N atom to which they are

attached, an optionally substituted aromatic or nonarom. heterocyclic ring which may contain further heteroatoms. R6 and R7 are independently H or hydrocarbyl. R8 and R9 are independently H, halo, C1-4 alkyl, C1-4 alkoxy, C1-4 alkoxymethyl, di(C1-4alkoxy)methyl, C1-4 alkanoyl, trifluoromethyl, cyano, amino, C2-5 alkenyl, C2-5 alkynyl, a Ph group, a benzyl group or a 5-6-membered heterocyclic group with 1-3 heteroatoms, selected independently from O, S and N, which heterocyclic group may be aromatic or nonarom. and may be saturated (linked via a ring C or N atom) or unsatd. (linked via a ring C atom), and which Ph, benzyl or heterocyclic group may bear on one or more ring C atoms up to 5 substituents selected from hydroxy, halo, C1-3 alkyl, C1-3 alkoxy, C1-3 alkanoyloxy, trifluoromethyl, cyano, amino, nitro, C2-4 alkanoyl, C1-4 alkanoylamino, C1-4 alkoxycarbonyl, C1-4 alkylthio, C1-4 alkylsulfinyl, C1-4 alkylsulfonyl, carbamoyl, N-C1-4alkylcarbamoyl, N,N-di(C1-4alkyl)carbamoyl, aminosulfonyl, N-C1-4alkylaminosulfonyl, N,N-di(C1-4alkyl)aminosulfonyl, C1-4 alkylsulfonylamino, and a saturated heterocyclic group selected from morpholino, thiomorpholino, pyrrolidinyl, piperazinyl, piperidinyl imidazolidinyl and pyrazolidinyl, which saturated heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halo, C1-3 alkyl, C1-3 alkoxy, C1-3 alkanoyloxy, trifluoromethyl, cyano, amino, nitro and C1-4alkoxycarbonyl. R1, R2, R3, R4 are independently halo, cyano, nitro, C1-3 alkylthio, -N(OH)R14 (R14 is H, or C1-3 alkyl), or R16X1- (X1 represents a direct bond, -O-, -CH2-, -OC(O)-, -C(O)-, -S-, -SO-, -SO2-, -NR17C(O)-, -C(O)NR18-, -SO2NR19-, -NR20SO2- or -NR21- (R17, R18, R19, R20 and R21 each independently represents H, C1-3 alkyl or C1-3alkoxyC2-3alkyl), and R16 is H, optionally substituted hydrocarbyl, optionally substituted heterocyclyl or optionally substituted alkoxy). A method for preparing I comprises reacting II where X, R8 and R9 are as defined above, R1', R2', R3', R4' are groups R1, R2, R3, R4 as defined above resp., or precursors thereof; and R85 is a leaving group, with HCR6:CR7C(O)R5', where R6 and R7 are as defined above, R5' is a group R5 as defined above or a precursor group therefore; and thereafter if desired or necessary, converting any precursor groups R1', R2', R3', R4' or R5' to groups R1, R2, R3, R4 or R5 resp., or changing a group R5 to a different such group. The compds. of the invention inhibit the serine/threonine kinase activity of the aurora 2 kinase and thus inhibit the cell cycle and cell proliferation. Procedures for assessing these properties are described and test results are given for (E)-4-[4-(2-(3-methylcyclohexylaminocarbonyl)ethenyl)anilino]-6,7-dimethoxyquinazoline.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:228864 CAPLUS
DN **134:252355**
TI Preparation of quinazolines as aurora 2 kinase inhibitors
IN Mortlock, Andrew Austen; Keen, Nicholas John
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001021594	A1	20010329	WO 2000-GB3556	20000918

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL
GB 1999-22152 A 19990921
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NO 2002001401 A 20020521 NO 2002-1401 20020320
GB 1999-22152 A 19990921
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OS MARPAT 134:252355
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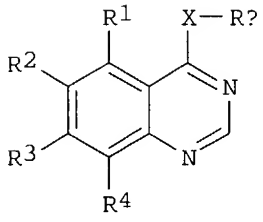

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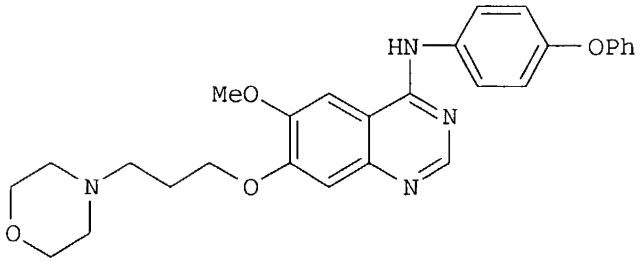

II

AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR8; R8 = H or alkyl; Ra = (un)substituted 3-quinolinyl or Ph; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R12, or R14X1; R12 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R14 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, 4-phenoxyaniline•HCl and 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline were refluxed in i-PrOH to yield II (86%). The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.069 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 2.89 μM and reduced BrdU incorporation into cellular DNA by 50% at 3.68 μM.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:139833 CAPLUS
DN **130:196664**
TI Preparation of 4-phenylaminoquinazolin-6-ylamides and related compounds as tyrosine kinase inhibitors.
IN Wissner, Allan; Tsou, Hwei-ru; Johnson, Bernard Dean; Hamann, Philip Ross; Zhang, Nan
PA American Cyanamid Company, USA
SO PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9909016	A1	19990225	WO 1998-US15789	19980729

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			US 1997-904942 A	19970801	
TW 436485	B	20010528	TW 1998-87112356	19980728	
			US 1997-904942 A	19970801	
AU 9886023	A1	19990308	AU 1998-86023	19980729	
AU 757418	B2	20030220			
			US 1997-904942 A	19970801	
			WO 1998-US15789W	19980729	
EP 1000039	A1	20000517	EP 1998-937275	19980729	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO					
			US 1997-904942 A	19970801	
			WO 1998-US15789W	19980729	
BR 9811805	A	20000815	BR 1998-11805	19980729	
			US 1997-904942 A	19970801	
			WO 1998-US15789W	19980729	
US 6251912	B1	20010626	US 1998-124365	19980729	
			US 1997-55072P P	19970801	
			US 1997-904942 A	19970801	
JP 2001515071	T2	20010918	JP 2000-509699	19980729	
			US 1997-904942 A	19970801	
			WO 1998-US15789W	19980729	
ZA 9806905	A	20000131	ZA 1998-6905	19980731	
			US 1997-904942 A	19970801	
NO 2000000487	A	20000331	NO 2000-487	20000131	
			US 1997-904942 A	19970801	
			WO 1998-US15789W	19980729	

OS MARPAT 130:196664

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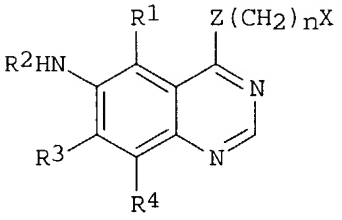

I

AB Title compds. [I; X = (substituted) cycloalkyl, pyridinyl, pyrimidinyl, Ph; Z = NH, O, S, NR; R = alkyl; R1, R3, R4 = H, halo, alkyl, alkenyl, alkynyl, alkenyloxy, alkynyloxy, CH2OH, halomethyl, alkanoyloxy, alkenoyloxy, alkynoyloxy, alkanoyloxymethyl, etc.; R2 = R5C.tplbond.CCO, (R5)2C:CR5CO, R5SS[C(R5)2]rCO, etc.; n = 0, 1; r = 1-4; R5 = H, CO2H, carboalkoxy, Ph, etc.], were prepared Thus, 4-dimethylamino-2-butynoic acid (preparation given) was stirred with iso-Bu chloroformate and N-methylmorpholine in THF with ice cooling; N-(3-bromophenyl)-4,6-quinazolinediamine in pyridine was added and the mixture was stirred 2 h at 0° to give 4-dimethylamino-2-butynoic acid [4-(3-bromophenylamino)quinazolin-6-yl]amide. The latter inhibited MB435 tumor cell growth with IC50 = 0.05 μg/mL.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:745041 CAPLUS
DN **130:10618**
TI Modulating serine/threonine protein kinase function with quinazoline-based compounds and their use as antitumor and anti-fibrotic agents
IN Tang, Peng C.; McMahon, Gerald; Weinberger, Heinz; Kutscher, Bernhard; App, Harald
PA Sugen, Inc., USA
SO PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9850370	A1	19981112	WO 1998-US9060	19980501
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				US 1997-45351P P	19970502
				US 1997-60152P P	19970926
	ZA 9803669	A	19991101	ZA 1998-3669	19980430
				US 1997-45351P P	19970502
	AU 9872829	A1	19981127	AU 1998-72829	19980501
				US 1997-45351P P	19970502
				US 1997-60152P P	19970926
				WO 1998-US9060 W	19980501
	EP 981519	A1	20000301	EP 1998-920203	19980501
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
				US 1997-45351P P	19970502
				US 1997-60152P P	19970926
				WO 1998-US9060 W	19980501
	US 6204267	B1	20010320	US 1998-71682	19980501
				US 1997-45351P P	19970502
				US 1997-60152P P	19970926
	JP 2001524128	T2	20011127	JP 1998-548336	19980501
				US 1997-45351P P	19970502
				US 1997-60152P P	19970926
				WO 1998-US9060 W	19980501
	US 2001014679	A1	20010816	US 2001-769360	20010126
				US 1997-45351P P	19970502
				US 1997-60152P P	19970926
				US 1998-71682 A3	19980501

OS CASREACT 130:10618; MARPAT 130:10618
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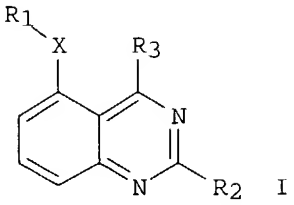

I

AB The present invention is directed in part towards methods of modulating the function of serine/threonine protein kinases with quinazoline-based compds (I). The methods incorporate cells that express a serine/threonine protein kinase, such as RAF. In addition, the invention describes methods of preventing and treating serine/threonine protein kinase-related abnormal conditions (e.g., tumors, fibrotic disorders, or other signal transduction aberrations) in organisms with a compound identified by the invention. Furthermore, the invention pertains to quinazoline compds. and pharmaceutical compns. comprising these compds. Syntheses and biol. activities are are provided for 38 quinazoline-based compds.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:612013 CAPLUS
DN **129:221202**
TI Formulations for hydrophobic pharmaceutical agents
IN Shenoy, Narmada; Wagner, Gregory S.
PA Sugen, Inc., USA
SO PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9838984	A2	19980911	WO 1998-US4134	19980304
	WO 9838984	A3	19990128		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				US 1997-39870P P	19970305
				US 1997-41251P P	19970318
	AU 9866806	A1	19980922	AU 1998-66806	19980304
	AU 743024	B2	20020117		
				US 1997-39870P P	19970305
				US 1997-41251P P	19970318
				WO 1998-US4134 W	19980304
	EP 1014953	A2	20000705	EP 1998-908884	19980304
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
				US 1997-39870P P	19970305
				US 1997-41251P P	19970318

			WO 1998-US4134 W 19980304
NZ 337394	A	20010525	NZ 1998-337394 19980304
			US 1997-39870P P 19970305
			US 1997-41251P P 19970318
			WO 1998-US4134 W 19980304
US 6248771	B1	20010619	US 1998-34374 19980304
			US 1997-39870P P 19970305
			US 1997-41251P P 19970318
JP 2001514626	T2	20010911	JP 1998-538698 19980304
			US 1997-39870P P 19970305
			US 1997-41251P P 19970318
			WO 1998-US4134 W 19980304
NZ 510991	A	20021126	NZ 1998-510991 19980304
			US 1997-39870P P 19970305
			US 1997-41251P P 19970318
US 2001012844	A1	20010809	US 2001-797842 20010305
US 6696482	B2	20040224	
			US 1997-39870P P 19970305
			US 1997-41251P P 19970318
			US 1998-34374 A319980304

OS MARPAT 129:221202

AB The present invention features formulations, including liquid, semi-solid or solid pharmaceutical formulations, that improve the oral bioavailability of hydrophobic pharmaceutical agents, such as quinazoline-, nitrothiazole-, and indolinone-based compds. Also featured are formulations for parenteral delivery of such hydrophobic pharmaceutical agents, as well as methods of making and using both types of formulations. A claimed formulation comprises the hydrophobic pharmaceutical agents, polyoxyhydrocarbyl compds, and surfactants. A parenteral solution contained 3-[(2,4-dimethylpyrrol-5-yl)methylene]-2-indolinone 5, PEG-400 35, Cremophor EL 25, benzyl alc. 2, ethanol 11.4, and sterile water to 100 % weight/volume

L8 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:282401 CAPLUS

DN **128:321653**

TI Preparation of alkynyl- and azido-substituted 4-anilinoquinazolines for the treatment of hyperproliferative diseases

IN Schnur, Rodney Caughren; Arnold, Lee Daniel

PA Pfizer Inc., USA

SO U.S., 23 pp.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5747498	A	19980505	US 1996-653786	19960528
			US 1996-653786	19960528

OS CASREACT 128:321653; MARPAT 128:321653

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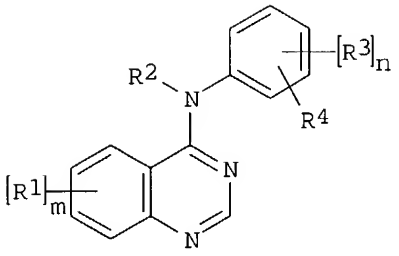

I

AB The title compds. [I; R1 = H, halo, OH, etc.; R2 = H, (un)substituted C1-6 alkyl; R3 = H, halo, OH, etc.; R4 = N3, (un)substituted ethynyl; m = 1-3; n = 1-2] and their salts, useful in the treatment of hyperproliferative diseases such as cancer, were prepared Thus, reaction of 4-chloro-6,7-dimethoxyquinazoline with 4-azidoaniline hydrochloride in iPrOH afforded 98% I [R1 = 6,7-Me2; R2, R3 = H; R4 = 4-N3]. Compds. I showed IC50 of 0.0001-30 μM against EGFR kinase.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:265828 CAPLUS
DN **128:294788**
TI 4-Aminoquinazoline derivatives for treatment of hyperproliferative disorders or conditions in mammals
IN Arnold, Lee Daniel; Sobolov-Jaynes, Susan Beth
PA Pfizer Inc., USA
SO Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 837063	A1	19980422	EP 1997-307724	19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
			US 1996-28881P P	19961017
CA 2218945	AA	19980417	CA 1997-2218945	19971015
			US 1996-28881P P	19961017
JP 10152477	A2	19980609	JP 1997-284872	19971017
JP 3457164	B2	20031014		
			US 1996-28881P P	19961017
BR 9705088	A	19990720	BR 1997-5088	19971017
			US 1996-28881P P	19961017

PATENT FAMILY INFORMATION:
FAN 2001:312415

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6225318	B1	20010501	US 1999-449855	19991126
			US 1996-28881P P	19961017
			US 1997-953078 B2	19971017

OS MARPAT 128:294788
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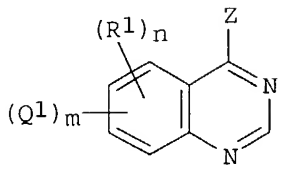

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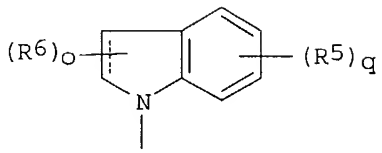

II

AB The title compds. I [R1 = CF3, halo, OH, etc.; Q1 = ArYX; Ar = monocyclic or bicyclic aryl or heteroaryl ring; X = C2 alkene, C2 alkyne or absent; Y = (CH2)p, wherein one or two of the CH2 groups may be replaced by either O, S, SO2, CO, NH or NMe; Z = NR3R4; R3 = H; R4 = Q2, Ph substituted by R5q, or NR3R4 = II, wherein the dotted line represents an optional double bond; m = 1, 2; n = 0, 1, 2, 3; o = 0, 1, 2; p= 0-5; q = 0-3 integer] and their pharmaceutically acceptable salts are prepared Thus, heating (1H-indol-5-yl)-(6-iodo-7-methoxyquinazolin-4-yl)amine with 4-vinylpyridine, Pd acetate and NEt3 in MeCN gave (1H-indol-5-yl)-[7-methoxy-6-(2-pyridin-4-yl-vinyl)quinazolin-4-yl]amine.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:568104 CAPLUS
DN **127:220671**
TI Preparation of 4-anilino-7-heteroarylquinazolines as tyrosine kinase inhibitors.
IN Barker, Andrew John; Johnstone, Craig
PA Zeneca Limited, UK
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9730044	A1	19970821	WO 1997-GB345	19970210
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				GB 1996-3097	A 19960214
	AU 9716127	A1	19970902	AU 1997-16127	19970210
				GB 1996-3097	A 19960214
				WO 1997-GB345	W 19970210
	EP 880517	A1	19981202	EP 1997-902497	19970210
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
				GB 1996-3097	A 19960214
				WO 1997-GB345	W 19970210
	JP 2000505441	T2	20000509	JP 1997-529074	19970210
				GB 1996-3097	A 19960214
				WO 1997-GB345	W 19970210
	AT 212022	E	20020215	AT 1997-902497	19970210

				GB 1996-3097 A 19960214
				WO 1997-GB345 W 19970210
	PT 880517	T	20020731	PT 1997-97902497 19970210
				GB 1996-3097 A 19960214
	ES 2171884	T3	20020916	ES 1997-902497 19970210
				GB 1996-3097 A 19960214
	US 5814630	A	19980929	US 1997-800830 19970213
				GB 1996-3097 A 19960214

OS MARPAT 127:220671
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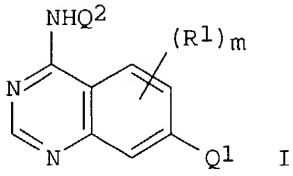

I

AB Title compds. [I; Q1 = (substituted) (benzo-fused) 5-6 membered heteroaryl; m = 1, 2; R1 = H, halo, CF3, OH, amino, NO2, cyano, CO2H, carbamoyl, alkoxycarbamoyl, alkyl, alkoxy, etc.; Q2 = (substituted) Ph], having antiproliferative activity, were prepared Thus, 7-bromo-4-(3-chloro-4-fluoroanilino)quinazoline hydrochloride reacted with diisopropyl 5-morpholinomethylthien-3-ylboronate to give 4-(3-chloro-4-fluoroanilino)-7-(5-morpholinomethylthien-3-yl)quinazoline. The latter inhibited EGF-stimulated growth of KB cells with IC50 = 0.12 μM.

L8 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:701606 CAPLUS
DN **125:328728**
TI Preparation of N-phenylquinazoline-4-amines as neoplasm inhibitors
IN Schnur, Rodney C.; Arnold, Lee D.
PA Pfizer Inc., USA
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9630347	A1	19961003	WO 1995-IB436	19950606
	W: CA, FI, JP, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				US 1995-413300 A219950330	
	CA 2216796	AA	19961003	CA 1995-2216796	19950606
	CA 2216796	C	20030902		
				US 1995-413300 A	19950330
	EP 817775	A1	19980114	EP 1995-918713	19950606
	EP 817775	B1	20010912		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
				US 1995-413300 A	19950330
				WO 1995-IB436 W	19950606
	JP 10506633	T2	19980630	JP 1995-529113	19950606
				US 1995-413300 A	19950330
				WO 1995-IB436 W	19950606

JP 3088018	B2	20000918	JP 1996-529113 19950606
			US 1995-413300 A 19950330
			WO 1995-IB436 W 19950606
EP 1110953	A1	20010627	EP 2001-104696 19950606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE			
			US 1995-413300 A 19950330
			EP 1995-918713 A319950606
AT 205483	E	20010915	AT 1995-918713 19950606
			US 1995-413300 A 19950330
			WO 1995-IB436 W 19950606
ES 2161290	T3	20011201	ES 1995-918713 19950606
			US 1995-413300 A 19950330
PT 817775	T	20020130	PT 1995-95918713 19950606
			US 1995-413300 A 19950330
SK 283763	B6	20040108	SK 2003-56 19950606
			US 1995-413300 A 19950330
			WO 1995-IB436 W 19950606
SK 283762	B6	20040108	SK 1996-387 19950606
			US 1995-413300 A 19950330
			WO 1995-IB436 W 19950606
TW 454000	B	20010911	TW 1996-85102699 19960305
			US 1995-413300 A 19950330
			WO 1995-IB436 W 19950606
CN 1137037	A	19961204	CN 1996-102992 19960328
CN 1066142	B	20010523	
			US 1995-413300 A 19950330
			WO 1995-IB436 A 19950606
PL 186843	B1	20040331	PL 1996-313541 19960328
			US 1995-413300 A 19950330
			WO 1995-IB436 W 19950606
NO 9601299	A	19961001	NO 1996-1299 19960329
			US 1995-413300 A 19950330
			WO 1995-IB436 A 19950606
AU 9650406	A1	19961010	AU 1996-50406 19960329
AU 703638	B2	19990325	
			US 1995-413300 A 19950330
			WO 1995-IB436 A 19950606
ZA 9602522	A	19970929	ZA 1996-2522 19960329
			US 1995-413300 A 19950330
BR 9601200	A	19980106	BR 1996-1200 19960329
			US 1995-413300 A 19950330
			WO 1995-IB436 W 19950606
RU 2174977	C2	20011020	RU 1996-106055 19960329
			US 1995-413300 A 19950330
			WO 1995-IB436 W 19950606
HR 960147	B1	20020430	HR 1996-960147 19960329
			US 1995-413300 A 19950330
			WO 1995-IB436 W 19950606
FI 9703832	A	19970929	FI 1997-3832 19970929
			US 1995-413300 A 19950330
			WO 1995-IB436 W 19950606
AU 9935854	A1	19990819	AU 1999-35854 19990623
			US 1995-413300 A 19950330
			WO 1995-IB436 A 19950606
			AU 1996-50406 A319960329
GR 3037070	T3	20020131	GR 2001-401942 20011030
			US 1995-413300 A 19950330
			WO 1995-IB436 W 19950606

OS MARPAT 125:328728
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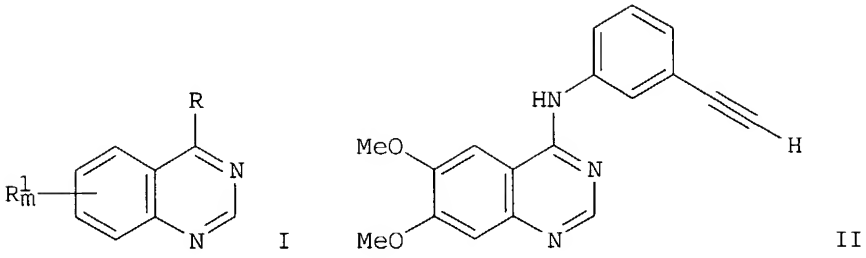
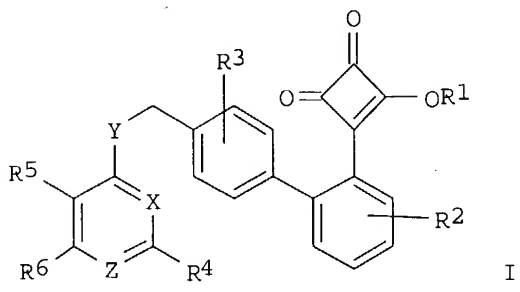


AB Title compds. [I; r = NR2ZR4; R1 = H, halo, NH2, CO2H, etc.; R2 = H (un)substituted alkyl; R4 = N3, C.tplbond.CR3; R3 = H, (un)substituted alkyl; Z = (un)substituted phenylene; m = 1-3] were prepared Thus, 4-chloro-6,7-dimethoxyquinazoline was aminated by 3-(HC.tplbond.C)C6H4NH2 to give title compound II. I had IC50 of 10-4 to 30μM against phosphorylation on Lys3-gastrin tyrosine by epidermal growth factor receptor kinase in vitro.

L8 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:605384 CAPLUS
DN **121:205384**
TI Heterocycles substituted with biphenyl-3-cyclobutene-1,2-dione derivatives as antagonists of angiotensin II receptors
IN Soll, Richard M.; Kinney, William A.
PA American Home Products Corp., USA
SO U.S., 7 pp. Cont.-in-part of U.S. Ser. No. 782,029, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5330989	A	19940719	US 1992-943614	19920911
			US 1991-782029	19911024

OS MARPAT 121:205384
GI



AB The title compds. [I; R1 = H, alkyl, benzyl, alkoxyalkyl, Ph; R2 = H, (un)substituted alkyl, alkoxyalkyl, Ph, alkoxy, F, Cl, Br, I, (un)substituted NH2, etc.; R3 = H, (un)substituted alkyl, benzyl, alkoxyalkyl, Ph, alkoxy, F, Cl, Br, I, etc.; R4 = H, (un)substituted NH2, OR1, CN, F, Cl, I, Br, perfluoroalkyl, alkyl, Ph, alkoxy, alkoxyalkyl, (CH2)nCO2R1, (un)substituted (CH2)nCONH2; n = 1-5; R5, R6 = H, alkyl, benzyl, alkoxyalkyl, Ph, F, Cl, (un)substituted NH2; R5R6 = a C linking chain of ≤6 linking members; Y = O, (un)substituted NH, etc.; X = N, (un)substituted CH; Z = N, (un)substituted CH], which are angiotensin II antagonists, useful as antihypertensives, etc., are prepared Thus, 3-hydroxy-4-[4'-[[[5,6,7,8-tetrahydro-2-(trifluoromethyl)-4-quinazolinyl]amino]methyl][1,1'-biphenyl]-2-yl]-3-cyclobutene-1,2-dione, m.p. 193° (decomposition), which was prepared in 5 steps from 2-(4'-aminomethylphenyl)nitrobenzene, demonstrated IC50 against 125I-angiotensin II using rat-derived angiotensin II receptors of 25nM.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	47.39	546.41

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-11.09	-19.41

STN INTERNATIONAL LOGOFF AT 15:29:02 ON 16 APR 2004

L8 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:139833 CAPLUS
DN **130:196664**
TI Preparation of 4-phenylaminoquinazolin-6-ylamides and related compounds as tyrosine kinase inhibitors.
IN Wissner, Allan; Tsou, Hwei-ru; Johnson, Bernard Dean; Hamann, Philip Ross; Zhang, Nan
PA American Cyanamid Company, USA
SO PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9909016	A1	19990225	WO 1998-US15789	19980729
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			US 1997-904942 A	19970801
TW 436485	B	20010528	TW 1998-87112356	19980728
			US 1997-904942 A	19970801
AU 9886023	A1	19990308	AU 1998-86023	19980729
AU 757418	B2	20030220		
			US 1997-904942 A	19970801
			WO 1998-US15789W	19980729
EP 1000039	A1	20000517	EP 1998-937275	19980729
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			US 1997-904942 A	19970801
			WO 1998-US15789W	19980729
BR 9811805	A	20000815	BR 1998-11805	19980729
			US 1997-904942 A	19970801
			WO 1998-US15789W	19980729
US 6251912	B1	20010626	US 1998-124365	19980729
			US 1997-55072P P	19970801
			US 1997-904942 A	19970801
JP 2001515071	T2	20010918	JP 2000-509699	19980729
			US 1997-904942 A	19970801
			WO 1998-US15789W	19980729
ZA 9806905	A	20000131	ZA 1998-6905	19980731
			US 1997-904942 A	19970801
NO 2000000487	A	20000331	NO 2000-487	20000131
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			WO 1998-US15789W	19980729

OS MARPAT 130:196664
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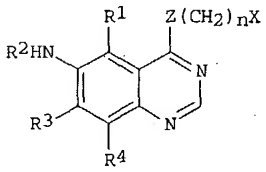

I

AB Title compds. [I; X = (substituted) cycloalkyl, pyridinyl, pyrimidinyl, Ph; Z = NH, O, S, NR; R = alkyl; R1, R3, R4 = H, halo, alkyl, alkenyl, alkynyl, alkenyloxy, alkynyloxy, CH2OH, halomethyl, alkanoyloxy, alkenoyloxy, alkynoyloxy, alkanoyloxymethyl, etc.; R2 = R5C.tplbond.CCO, (R5)2C:CR5CO, R5SS[C(R5)2]rCO, etc.; n = 0, 1; r = 1-4; R5 = H, CO2H, carboalkoxy, Ph, etc.], were prepared Thus, 4-dimethylamino-2-butynoic acid (preparation given) was stirred with iso-Bu chloroformate and N-methylmorpholine in THF with ice cooling; N-(3-bromophenyl)-4,6-quinazolinediamine in pyridine was added and the mixture was stirred 2 h at 0° to give 4-dimethylamino-2-butynoic acid [4-(3-bromophenylamino)quinazolin-6-yl]amide. The latter inhibited MB435 tumor cell growth with IC50 = 0.05 μg/mL.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT